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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB
NEWS	14	DEC 30	EPFULL: New patent full text database to be available on STN
NEWS	15	DEC 30	CAPLUS - PATENT COVERAGE EXPANDED
NEWS	16	JAN 03	No connect-hour charges in EPFULL during January and February 2005
NEWS	17	FEB 25	CA/CAPLUS - Russian Agency for Patents and Trademarks (ROSPATENT) added to list of core patent offices covered
NEWS	18	FEB 10	STN Patent Forums to be held in March 2005
NEWS	19	FEB 16	STN User Update to be held in conjunction with the 229th ACS National Meeting on March 13, 2005
NEWS	20	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	21	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	22	FEB 28	MEDLINE/LMEDLINE reloaded
NEWS	23	MAR 02	GBFULL: New full-text patent database on STN
NEWS	24	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	25	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	26	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	27	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	28	MAR 22	PATDPASPC - New patent database available
NEWS	29	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags

NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

```
 NEWS HOURS     STN Operating Hours Plus Help Desk Availability
 NEWS INTER     General Internet Information
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 NEWS PHONE     Direct Dial and Telecommunication Network Access to STN
 NEWS WWW       CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 18:25:02 ON 24 MAR 2005

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 18:25:09 ON 24 MAR 2005
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   23 MAR 2005  HIGHEST RN 847137-45-5
DICTIONARY FILE UPDATES:  23 MAR 2005  HIGHEST RN 847137-45-5

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

*********************************************************************
*                                                                   *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,   *
* effective March 20, 2005.  A new display format, IDERL, is now    *
* available and contains the CA role and document type information. *
*                                                                   *
*********************************************************************

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10723297.str
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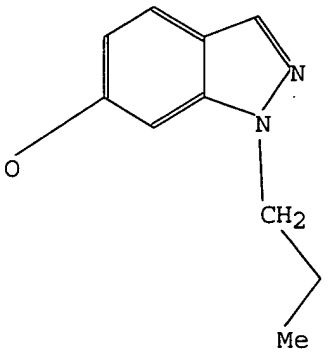
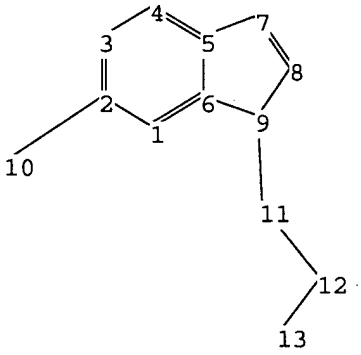




chain nodes :
10 11 12 13
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
2-10 9-11 11-12 12-13
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds :
2-10 6-9 7-8 8-9
exact bonds :
5-7 9-11 11-12 12-13
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
11:CLASS 12:CLASS 13:CLASS

L1 STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 18:25:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 83 TO ITERATE

100.0% PROCESSED 83 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 1114 TO 2206
PROJECTED ANSWERS: 5 TO 234

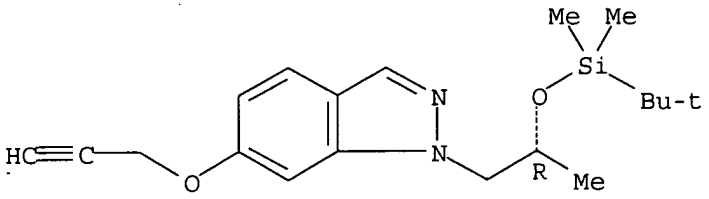
L2 5 SEA SSS SAM L1

=> d scan

L2 5 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1H-Indazole, 1-[(2R)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(2-propynyloxy)- (9CI)
MF C19 H28 N2 O2 Si

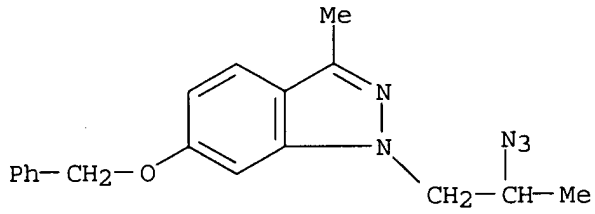
Absolute stereochemistry.



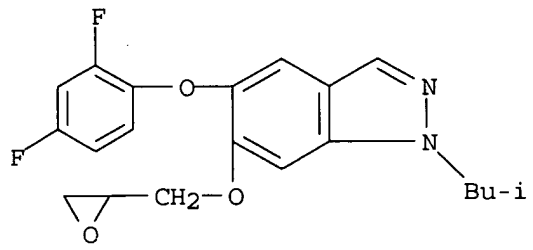
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L2 5 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Indazole, 1-(2-azidopropyl)-3-methyl-6-(phenylmethoxy)- (9CI)
MF C18 H19 N5 O



L2 5 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Indazole, 5-(2,4-difluorophenoxy)-1-(2-methylpropyl)-6-(oxiranylmethoxy)- (9CI)
MF C20 H20 F2 N2 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 ful
FULL SEARCH INITIATED 18:26:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1458 TO ITERATE

100.0% PROCESSED 1458 ITERATIONS 91 ANSWERS
SEARCH TIME: 00.00.01

L3 91 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	161.76	161.97

FILE 'CAPLUS' ENTERED AT 18:26:31 ON 24 MAR 2005
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FILE COVERS 1907 - 24 Mar 2005 VOL 142 ISS 13
FILE LAST UPDATED: 23 Mar 2005 (20050323/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 20 L3

=> d l4 ibib hitstr abs 1-20

L4 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:29163 CAPLUS
DOCUMENT NUMBER: 142:134596
TITLE: A preparation of imidazole derivatives, useful for the treatment of ocular hypertension
INVENTOR(S): Chen, Meng Hsin; Doherty, James B.; Liu, Luping; Natarajan, Swaminathan R.; Shen, Dong-Ming; Shu, Min
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005002520	A2	20050113	WO 2004-US20752	20040625

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

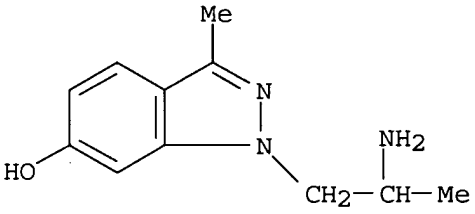
PRIORITY APPLN. INFO.: US 2003-483996P P 20030701

IT **362512-14-9**, 1-(2-Aminopropyl)-3-methyl-1H-indazol-6-ol fumarate
362512-19-4, 2-(3-Chloro-6-methoxyindazol-1-yl)-1-methyl-ethylamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT2 receptor agonist, drug component; preparation of imidazole derivs. useful for the treatment of ocular hypertension)

RN 362512-14-9 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

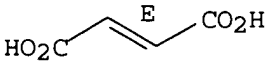
CRN 362512-13-8
CMF C11 H15 N3 O



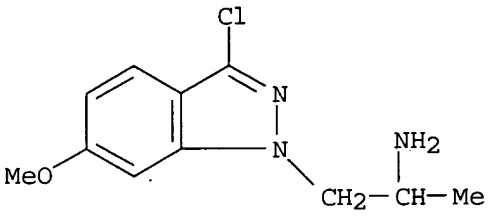
CM 2

CRN 110-17-8
CMF C4 H4 O4

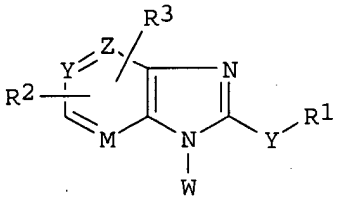
Double bond geometry as shown.



RN 362512-19-4 CAPLUS
CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl- (9CI) (CA INDEX NAME)



GI



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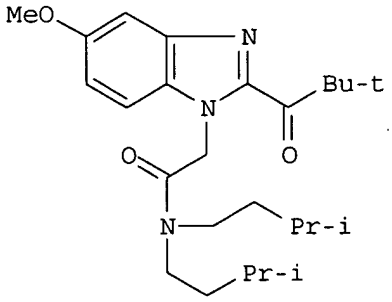
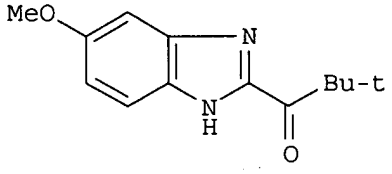


II



III

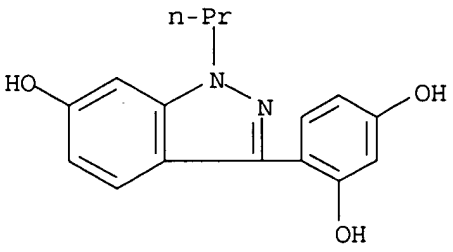
AB The invention relates to a preparation of imidazole derivs. of formula I [wherein: M, Y, and Z are independently selected from CH or N; W is (CH2)0-3-[alkyl/alkoxy/(hetero)cycloalkyl], CO2H, or CH2C(O)NH-(H/alkyl/heterocyclyl), etc.; Y is (CH2)0-6, C(O)(CH2)0-3, SO2, or O, etc.; R1 is H, alkyl, (hetero)aryl, or CO2H, etc.; R2 and R3 are independently selected from H, alkoxy, OH, alkyl, or SO3H, etc.], useful for the treatment of ocular hypertension. The invention compds. are potent potassium channel blockers, useful in the treatment of glaucoma and other conditions which leads to elevated intraocular pressure in the eye of a patient. This invention also relates to the use of such compds. to provide a neuroprotective effect to the eye of mammalian species, particularly humans. For instance, benzimidazole derivative II was prepared via N-ethanoylation of benzimidazole derivative III by Me bromoacetate, hydrolysis of the obtained Me benzimidazolylacetate derivative, and subsequent amidation by di-(iso-amyl)amine. The prepared compds. were tested on high-conductance calcium-activated potassium channels (electrophysiol. assays) and showed Ki values from 0.01 nM to 10 μM.

L4 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:973336 CAPLUS

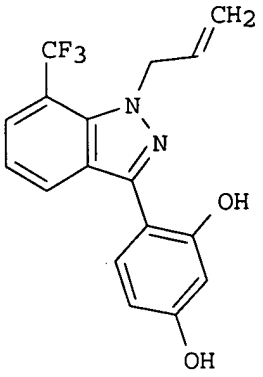
DOCUMENT NUMBER: 142:113959
TITLE: Synthesis and Activity of Substituted 4-(Indazol-3-yl)phenols as Pathway-Selective Estrogen Receptor Ligands Useful in the Treatment of Rheumatoid Arthritis
AUTHOR(S): Steffan, Robert J.; Matelan, Edward; Ashwell, Mark A.; Moore, William J.; Solvibile, William R.; Trybulski, Eugene; Chadwick, Christopher C.; Chippari, Susan; Kenney, Thomas; Eckert, Amy; Borges-Marcucci, Lisa; Keith, James C.; Xu, Zhang; Mosyak, Lydia; Harnish, Douglas C.
CORPORATE SOURCE: Chemical and Screening Sciences, Wyeth Research, Collegeville, PA, 19426, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(26), 6435-6438
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:113959

IT **680610-76-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, antiarthritis activity and antiinflammatory activity of indazolylphenols prepared as inhibitors of estrogen receptors without the proliferative effects of estrogens for the treatment of rheumatoid arthritis)

RN 680610-76-8 CAPLUS
CN 1,3-Benzenediol, 4-(6-hydroxy-1-propyl-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



GI



I

AB Indazolylphenols such as WAY-169916 I are prepared as oral inhibitors of estrogen receptors for the treatment of rheumatoid arthritis without the classical proliferative effects associated with estrogens. I binds to the ER-α ligand binding domain with an IC50 value of 1300 nM and to the ER-β ligand binding domain with an IC50 value of 106 nM. The inhibition of genes targeted by NF-κB is determined for seven of the indazolylphenols prepared (including I). The structure of I bound to ER-α is shown and used to compare the binding of I to ER-α to that of estradiol to ER-α (no data). Rats treated with I show statistically significant redns. in arthritis at a dose of 1 mg/kg for 2 wk.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:802552 CAPLUS
DOCUMENT NUMBER: 141:314320
TITLE: Preparation of indazoles and related compounds as p38 inhibitors
INVENTOR(S): Munson, Mark; Mareska, David A.; Kim, Youngboo; Groneberg, Robert D.; Rizzi, James; Rodriguez, Martha; Kim, Ganghyeok; Vigers, Guy; Rao, Chang; Balachari, Devan; Harvey, Darren
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 139 pp., Cont.-in-part of U.S. Ser. No. 688,849.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004192653	A1	20040930	US 2004-788044	20040225
US 2004176325	A1	20040909	US 2003-378164	20030303
US 2004180896	A1	20040916	US 2003-688849	20031015
PRIORITY APPLN. INFO.:			US 2003-378164	A2 20030303
			US 2003-688849	A2 20031015

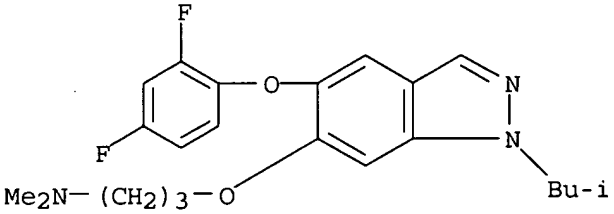
OTHER SOURCE(S): MARPAT 141:314320
IT **765914-42-9P 765914-43-0P**, 5-(2,4-Difluorophenoxy)-1-isobutyl-6-(piperidin-4-ylmethoxy)-1H-indazole **765914-45-2P**

765914-46-3P, 5-(2,4-Difluorophenoxy)-1-isobutyl-6-(morpholin-2-ylmethoxy)-1H-indazole **765914-48-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazoles and related compds. as p38 inhibitors for treatment of inflammatory, autoimmune, proliferative, infectious, and neurodegenerative diseases)

RN 765914-42-9 CAPLUS
CN 1-Propanamine, 3-[[5-(2,4-difluorophenoxy)-1-(2-methylpropyl)-1H-indazol-6-yl]oxy]-N,N-dimethyl-, trifluoroacetate (9CI) (CA INDEX NAME)

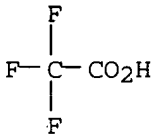
CM 1

CRN 765914-41-8
CMF C22 H27 F2 N3 O2

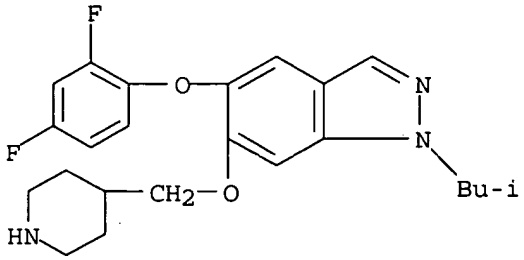


CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 765914-43-0 CAPLUS
CN 1H-Indazole, 5-(2,4-difluorophenoxy)-1-(2-methylpropyl)-6-(4-piperidinylmethoxy)- (9CI) (CA INDEX NAME)



RN 765914-45-2 CAPLUS

CN 1H-Indazole, 5-(2,4-difluorophenoxy)-1-(2-methylpropyl)-6-[3-(1-piperazinyl)propoxy]-, trifluoroacetate (9CI) (CA INDEX NAME)

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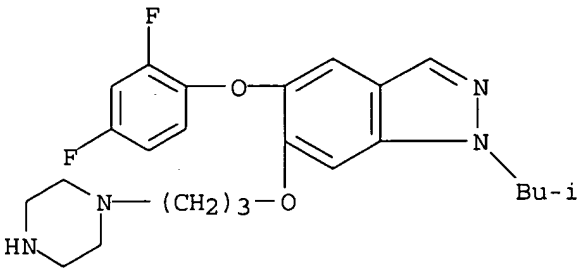
CRN 765914-44-1
CMF C24 H30 F2 N4 O2



CM 2

CRN 76-05-1
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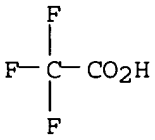
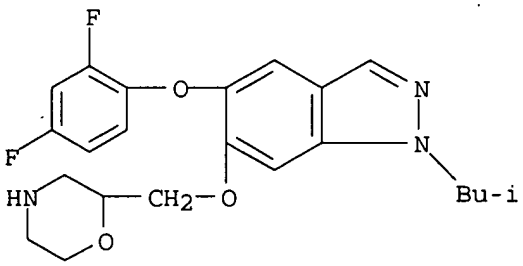


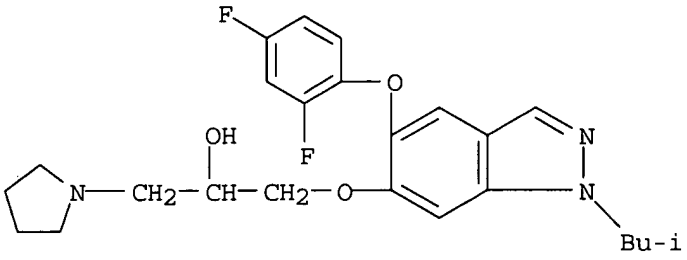
RN 765914-46-3 CAPLUS
CN 1H-Indazole, 5-(2,4-difluorophenoxy)-1-(2-methylpropyl)-6-(2-morpholinylmethoxy)- (9CI) (CA INDEX NAME)



RN 765914-48-5 CAPLUS
CN 1-Pyrrolidineethanol, α-[[[5-(2,4-difluorophenoxy)-1-(2-methylpropyl)-1H-indazol-6-yl]oxy]methyl]-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

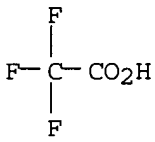
CM 1

CRN 765914-47-4
CMF C24 H29 F2 N3 O3



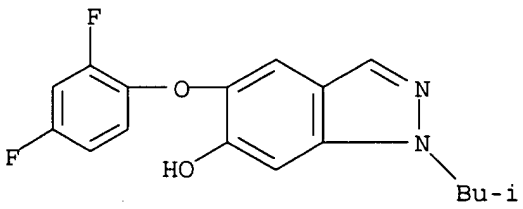
CM 2

CRN 76-05-1
CMF C2 H F3 O2

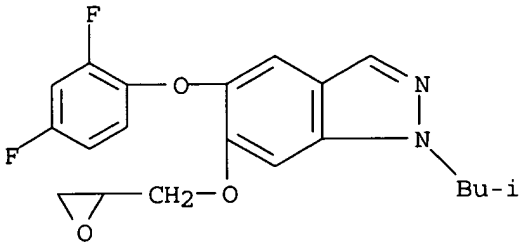


IT **765914-77-0P**, 5-(2,4-Difluorophenoxy)-1-isobutyl-1H-indazol-6-ol
765914-79-2P, 5-(2,4-Difluorophenoxy)-1-isobutyl-6-(oxiran-2-ylmethoxy)-1H-indazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indazoles and related compds. as p38 inhibitors for treatment of inflammatory, autoimmune, proliferative, infectious, and neurodegenerative diseases)

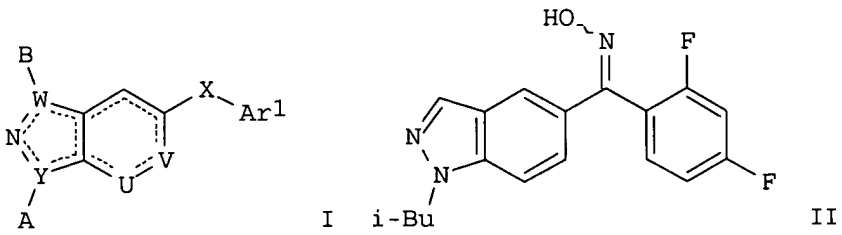
RN 765914-77-0 CAPLUS
CN 1H-Indazol-6-ol, 5-(2,4-difluorophenoxy)-1-(2-methylpropyl)- (9CI) (CA INDEX NAME)



RN 765914-79-2 CAPLUS
CN 1H-Indazole, 5-(2,4-difluorophenoxy)-1-(2-methylpropyl)-6-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)



GI



I i-Bu II

AB The invention provides for the preparation of the title compds. I [Y = C, N; W = C, N, S, provided that W = N, S, or O when Y = C, and W = C or N when Y = N; U = CH, N; V = C(E), N; X = O, S, SO, SO2, etc.; Ar1 = (un)substituted (hetero)aryl; A = H, OH, an amine protecting group, etc.; B = H, NH2, (un)substituted Me; E = H, OH, an amine protecting group, etc.; with the provisos; and stereoisomers, solvates, and pharmaceutically acceptable salts thereof] as p38 MAP kinase inhibitors. For example, cyclization of 4-bromo-2-methylaniline with NH4BF4 provided 5-bromo-1H-indazole, which was N-alkylated with 1-bromo-2-methylpropane (50.8% over 2 steps). Coupling with 2,4-difluorobenzaldehyde (69.1%), followed by oxidation (75.6%) and reaction with NH2OH•HCl (65.5%) gave (2,4-difluorophenyl)(1-isobutyl-1H-indazol-5-yl)methanone oxime (II). The latter inhibited p38α activity and LPS-induced TNF-α secretion from human peripheral blood mononuclear cells (PBMC) with IC50 values <500 nM. The invention also provides pharmaceutical compns. comprising I and methods of using the inhibitors and pharmaceutical compns. in the treatment and prevention of various disorders mediated by p38, such as inflammatory disease, autoimmune disease, destructive bone disorder, proliferative disorder, infectious disease, viral disease, or neurodegenerative disease (no data).

L4 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:565221 CAPLUS
DOCUMENT NUMBER: 141:106472
TITLE: Process for the preparation of 1-alkyl-3-aminoindazoles
INVENTOR(S): Delgado, Pete; Conrow, Raymond E.; Dean, William D.
PATENT ASSIGNEE(S): Alcon, Inc., Switz.
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058725	A1	20040715	WO 2003-US40370	20031219

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.: US 2002-436385P P 20021223

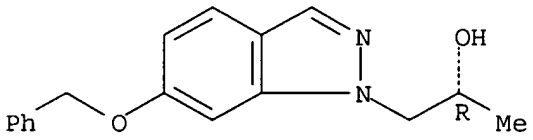
OTHER SOURCE(S): MARPAT 141:106472

IT **210581-14-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 210581-14-9 CAPLUS

CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

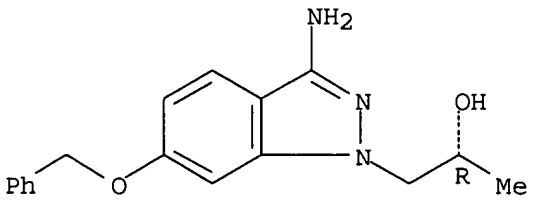


IT **720682-43-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for the preparation of 1-alkyl-3-aminoindazoles)

RN 720682-43-9 CAPLUS

CN 1H-Indazole-1-ethanol, 3-amino-α-methyl-6-(phenylmethoxy)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB Methods of making 1-alkylindazoles are described which involve reacting a 2-alkylaminobenzonitrile [e.g., (R)-4-benzyloxy-2-(2-hydroxypropyl)aminobenzonitrile] with a nitrosating agent (e.g., tert-Bu nitrite) followed by reduction-cyclization of the resulting nitrosamine to form a 1-alkyl-3-aminoindazole [e.g., (R)-6-benzyloxy-1-(2-hydroxypropyl)-3-aminoindazole]. The 1-alkyl-3-aminoindazole can be deaminated to form a 1-alkylindazole [e.g., (R)-6-benzyloxy-1-(2-hydroxypropyl)indazole] which ultimately can be used to form desired indazoles which are preferably pharmaceutically active products (no data).

L4 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:430692 CAPLUS
DOCUMENT NUMBER: 141:7107
TITLE: Preparation of 1H-indazoles as K channel blockers for use in ophthalmic compositions for treating ocular hypertension
INVENTOR(S): Doherty, James B.; Chen, Meng-Hsin; Liu, Luping; Natarajan, Swaminathan R.; Shen, Dong-Ming; Tynebor, Robert M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004043354	A2	20040527	WO 2003-US34959	20031104
WO 2004043354	A3	20040826		

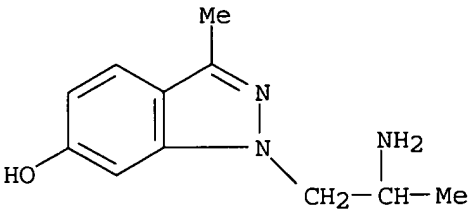
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-424790P P 20021108
US 2003-500094P P 20030904

OTHER SOURCE(S): MARPAT 141:7107
IT **362512-14-9**, 1-(2-Aminopropyl)-3-methyl-1H-indazol-6-ol fumarate
362512-19-4, [2-(3-Chloro-6-methoxyindazol-1-yl)-1-methylethyl]amine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy agent; preparation of 1H-indazoles as K channel blockers for use in ophthalmic compns. for treating ocular hypertension)
RN 362512-14-9 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

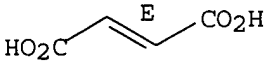
CRN 362512-13-8
CMF C11 H15 N3 O



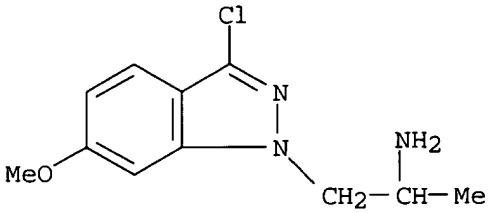
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 362512-19-4 CAPLUS
CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl- (9CI) (CA INDEX NAME)



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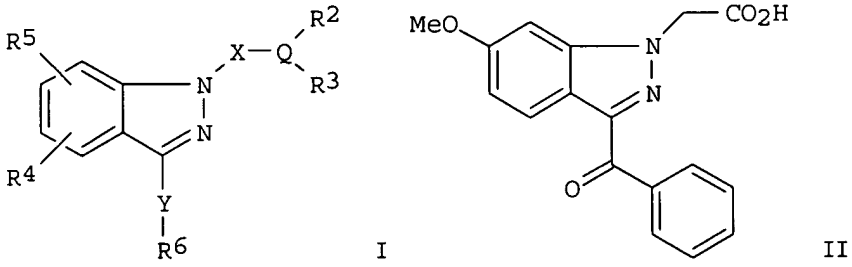


I II

AB This invention relates to the preparation and use of title compds. I [wherein X = (CHR7)p, (CHR7)pCO; Y = CO(CH2)n, CH2, CH(OR); Q = N or O, wherein R2 is absent when Q = O; R = H or alkyl; R2 = H, OH, (CH2)nO(CH2)mOR, CO2R, NR2, or (un)substituted alkyl, alkenyl, alkoxy(alkyl), thioalkyl, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl); R3 = H, NO2, CN, halo, (CH2)nCO2R, (CH2)SO2R, (CH2)nSO2NR2, or (un)substituted alkyl, cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), alkoxy(alkyl), carbamoyl(alkyl), (CH2)nNH2; R4 and R5 = independently H, alkoxy, OH,

alkyl, acyl, CO2R, SO3H, O(CH2)nNR2, OPO3H2, CF3, NR2, NO2, CN, halo, etc.; R6 = H, CO2R, COCO2R, or (un)substituted alkyl, aryl(alkyl), NH2, heterocyclyl(alkyl), aryloxy, cycloalkyl(alkyl), etc.; R7 = H, alkyl, (CH2)nCO2R, (CH2)nNR2; m = 0-3; n = 0-3; p = 0-3; and pharmaceutically acceptable salts, enantiomers, diastereomers, or mixts. thereof] and pharmaceutical compns. comprising them as potent K channel blockers for the treatment of glaucoma and other conditions which leads to elevated intraocular pressure in the eye of a patient (no data). This invention also relates to the use of I to provide a neuroprotective effect to the eye of mammalian species, particularly humans (no data). For example, 3-benzoyl-6-methoxy-1H-indazole (preparation given) was N-alkylated with Me bromoacetate in the presence of NaH in DMF and the product hydrolyzed using LiOH in THF to afford II. Compds. of the invention inhibited maxi-K channels in TsA-201 cells with IC50 values < 20μM in a fluorescence assay and blocked the activity of maxi-K channels in human non-pigmented ciliary epithelial cells with IC50 values < 20μM in an electrophysiol. study.

L4 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:414645 CAPLUS
DOCUMENT NUMBER: 140:423670
TITLE: Preparation of indazoles as potent potassium channel blockers for treating ocular hypertension
INVENTOR(S): Doherty, James B.; Chen, Meng-Hsin; Liu, Luping; Natarajan, Swaminathan R.; Tynebor, Robert M.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 30 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004097575	A1	20040520	US 2003-684990	20031014
WO 2004043932	A1	20040527	WO 2003-US35078	20031104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2004043933	A1	20040527	WO 2003-US35080	20031104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2002-424808P P 20021108

US 2003-500091P P 20030904

OTHER SOURCE(S): MARPAT 140:423670

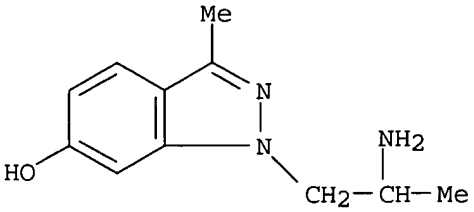
IT **362512-14-9**, 1-(2-Aminopropyl)-3-methyl-1H-indazol-6-ol fumarate
362512-19-4, 2-(3-Chloro-6-methoxyindazol-1-yl)-1-methylethylamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(co-administration; preparation of indazoles as potent potassium channel blockers for treating ocular hypertension in combination with other agents)

RN 362512-14-9 CAPLUS

CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

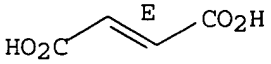
CRN 362512-13-8
CMF C11 H15 N3 O



CM 2

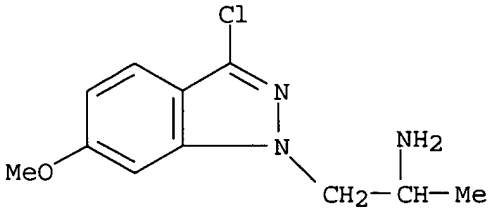
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 362512-19-4 CAPLUS

CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl- (9CI) (CA INDEX NAME)

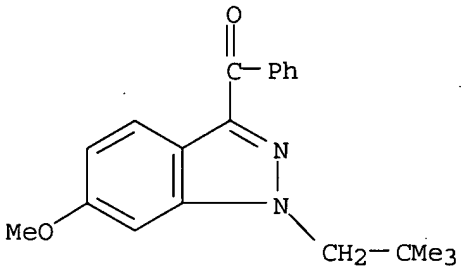


IT **691900-04-6P 691900-08-0P 691900-12-6P**
691901-26-5P 691901-28-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazoles as potent potassium channel blockers for treating

ocular hypertension)

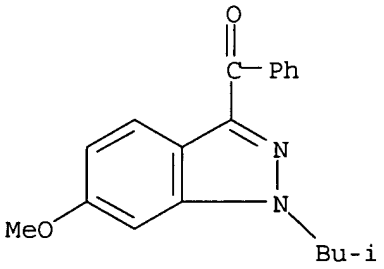
RN 691900-04-6 CAPLUS

CN Methanone, [1-(2,2-dimethylpropyl)-6-methoxy-1H-indazol-3-yl]phenyl- (9CI) (CA INDEX NAME)



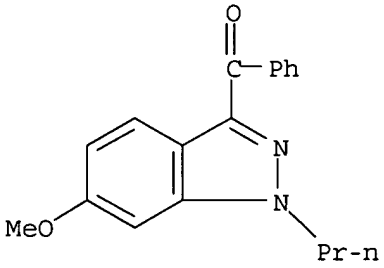
RN 691900-08-0 CAPLUS

CN Methanone, [6-methoxy-1-(2-methylpropyl)-1H-indazol-3-yl]phenyl- (9CI) (CA INDEX NAME)



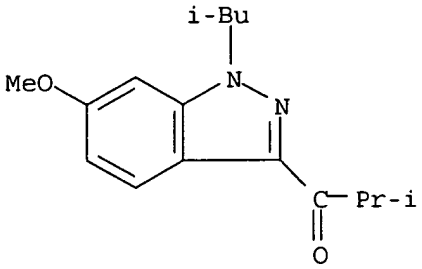
RN 691900-12-6 CAPLUS

CN Methanone, (6-methoxy-1-propyl-1H-indazol-3-yl)phenyl- (9CI) (CA INDEX NAME)

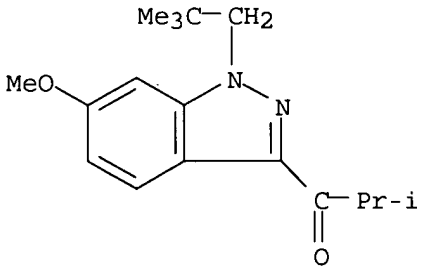


RN 691901-26-5 CAPLUS

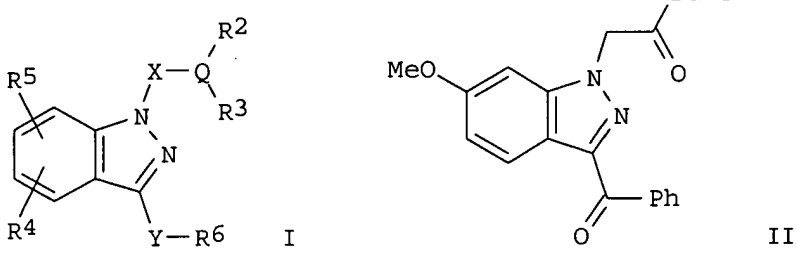
CN 1-Propanone, 1-[6-methoxy-1-(2-methylpropyl)-1H-indazol-3-yl]-2-methyl- (9CI) (CA INDEX NAME)



RN 691901-28-7 CAPLUS
CN 1-Propanone, 1-[1-(2,2-dimethylpropyl)-6-methoxy-1H-indazol-3-yl]-2-methyl- (9CI) (CA INDEX NAME)



GI



AB The title compds. [I; R = H, alkyl; X = (CHR7)p, (CHR7)pCO; Y = CO(CH2)n, CH2, CH(OR); Q = CH, C(alkyl); R2 = H, alkyl, OH, etc.; R3 = H, alkyl, heterocyclyl, etc.; QR2R3 = 3-10 membered carbocyclic or heterocyclic ring, OR; R4, R5 = H, alkoxy, OH, etc.; R6 = H, alkyl, (CH2)n(aryl), etc.; R7 = H, alkyl, (CH2)nCO2R, (CH2)nNR2; n = 0-3; p = 0-3], useful for the treatment of glaucoma and other conditions which leads to elevated intraocular pressure in the eye of a patient, were prepared Thus, reacting 3-benzoyl-6-methoxyindazole (preparation given) with 1-bromopinacolone in the presence of NaH in DMF afforded II. The IC50 for block of maxi-K channels for the compds. I ranged from about 0.5 nM to about 10 μM. This invention also relates to the use of compds. I to provide a neuroprotective effect to the eye of mammalian species, particularly humans. Ophthalmic compns. comprising the compound I is claimed.

L4 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:370925 CAPLUS
DOCUMENT NUMBER: 140:391155
TITLE: A preparation of pyrrolidin-2-one derivatives as EP4 receptor agonists
INVENTOR(S): Billot, Zavier; Han, Yongxin; Young, Robert N.; Girard, Mario; Wilson, Marie-Claire
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.; Beunard, Jean-Luc; Colucci, John
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

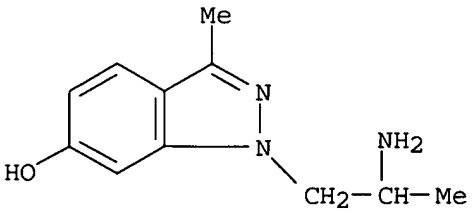
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037813	A1	20040506	WO 2003-CA1618	20031023

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-421503P P 20021025
OTHER SOURCE(S): MARPAT 140:391155
IT **362512-14-9**, 1-(2-Aminopropyl)-3-methyl-1H-indazol-6-ol fumarate
362512-19-4, 2-(3-Chloro-6-methoxyindazol-1-yl)-1-methylethylamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT2 receptor agonist, drug component; preparation of pyrrolidin-2-one derivs. as EP4 receptor agonists)
RN 362512-14-9 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

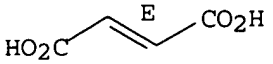
CRN 362512-13-8
CMF C11 H15 N3 O



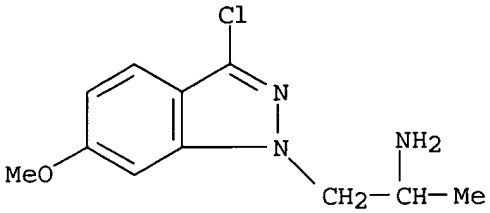
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 362512-19-4 CAPLUS
CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to pyrrolidin-2-one derivs. of formula I [wherein: Y is C(O) or CH(OH); Y1 = (CH2)2, CH:CH, or 1,2-cyclopropanediyl; Z = O, S, 1,2-cyclopropanediyl, CH:CH, C.tplbond.C, or a bond; R1 = CHO, OH, CN, etc.; Q is a divalent (hetero)arylene group; W is a bond, CH:CH, unsubstituted C1-6 alkylene, or a C1-6 alkylene substituted with 1-4 halogen atoms; R2 = C1-6alkyl, (CH2)0-8-C6-10aryl, O-C3-10cycloalkyl, O-C1-10alkyl, etc.], useful as selective agonists of the EP4 subtype of prostaglandin E2 receptors. The invention compds. are useful for the treatment of glaucoma and other conditions which are related to elevated intraocular pressure in the eye of a patient. The invention relates to the use of the title compds. for mediating the bone modeling and remodeling processes of the osteoblasts and osteoclasts. The effect of the prepared EP4 agonist compds. on intraocular pressure in rabbits and monkeys was investigated. The compds. were also tested in bone resorption assays (EC50 = 0.001-100 μM). For instance, compound II was prepared via amination of cinnamate derivative III by pyrrolidine derivative IV, hydrolysis of the obtained pyrrolidine derivative V (R3 = OMe, R4 = CH2OTBDMS), addition of BnC(O)CH2P(O)(OEt)2, reduction of the obtained unsatd. ketone V [R3 = OMe, R4 = CH:CHC(O)Bn], and subsequent hydrolysis (example 1, no yield data).

L4 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:370901 CAPLUS
DOCUMENT NUMBER: 140:391154
TITLE: A preparation of pyrrolidinone derivatives useful as selective EP4 receptor agonists
INVENTOR(S): Billot, Xavier; Beunard, Jean-Luc; Han, Yongxin; Young, Robert N.; Colucci, John; Girard, Mario; Wilson, Marie-Claire
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004037786	A2	20040506	WO 2003-CA1620	20031023
WO 2004037786	A3	20040930		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

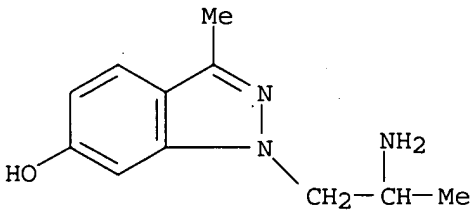
PRIORITY APPLN. INFO.: US 2002-421402P P 20021025
OTHER SOURCE(S): MARPAT 140:391154

IT **362512-14-9**, 1-(2-Aminopropyl)-3-methyl-1H-indazol-6-ol fumarate
362512-19-4, 2-(3-Chloro-6-methoxy-indazol-1-yl)-1-methylethylamine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5-HT2 receptor agonist, drug component; preparation of pyrrolidinone derivs. useful as selective EP4 receptor agonists)

RN 362512-14-9 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

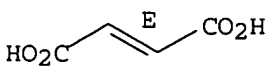
CRN 362512-13-8
CMF C11 H15 N3 O



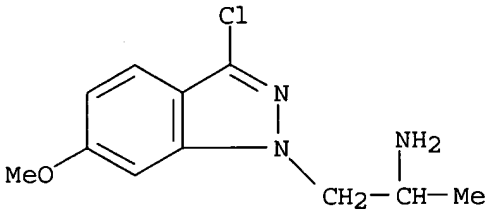
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 362512-19-4 CAPLUS
CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to pyrrolidinone derivs. of formula I [wherein: Y1 = (CH2)2, CH:CH, 1,2-cyclopropanediyl; Y is C(O) or CH(OH); A is (CH2)1-4; Z = O, S, 1,2-cyclopropanediyl, HC:CH, C.tplbond.C, or a bond; Q is a disubstituted (hetero)aryl ring; W is a bond, unsubstituted C1-6 alkylene, or C1-6 alkylene substituted with 1-4 halogen atoms; R1 = OH, CN, CHO, etc.; R2 = C1-6alkyl, (CH2)0-8-(C6-10aryl), O-C1-10alkyl, etc.; R3 and R4 are independently selected from halogen, C1-6alkyl, or R3 and R4, together with the carbon atom to which they are attached, form a C3-7 cycloalkyl ring] useful as potent selective agonists of the EP4 subtype of prostaglandin E2 receptors. The invention compds. are useful in treatment of glaucoma and other conditions which are related to the elevated intraocular pressure in the eye. The invention relates to the use of the invention compds. for mediating the bone modeling and remodeling processes of the osteoblasts and osteoclasts. The invention compds. were tested as EP4 agonists on intraocular pressure in rabbits and monkeys; prostanoid receptor binding assays and bone resorption assays were performed (in a subclass of the invented compds., agonists have EC50 values from 0.01 μM to 10 μM). The synthesized stereoisomeric pyrrolidinones II were prepared from pyrrole derivative III via oxidation, condensation with PhCF2C(O)CH2P(O)(OMe)2, keto-group reduction of the obtained unsatd. ketone IV, alc. protection, N-cleavage, addition of thiophene derivative V to the obtained compound VI, separation of the isomers, alc. deprotection, and hydrolysis.

L4 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:308422 CAPLUS
DOCUMENT NUMBER: 140:339323
TITLE: Preparation of substituted 4-(indazol-3-yl)phenols as estrogen receptor (ER) ligands for treatment of inflammatory diseases
INVENTOR(S): Steffan, Robert John; Matelan, Edward Martin; Ashwell, Mark Anthony; Solvibile, William Ronald
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

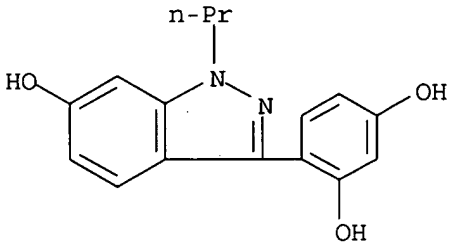
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004031159	A1	20040415	WO 2003-US330252	20030924
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004167127	A1	20040826	US 2003-670646	20030924
PRIORITY APPLN. INFO.:			US 2002-413931P P	20020925

OTHER SOURCE(S): MARPAT 140:339323

IT **680610-76-8P**, 4-(6-Hydroxy-1-propyl-1H-indazol-3-yl)benzene-1,3-diol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(ER ligand; preparation of indazolylphenols as ER ligands for treatment of inflammatory diseases)

RN 680610-76-8 CAPLUS

CN 1,3-Benzenediol, 4-(6-hydroxy-1-propyl-1H-indazol-3-yl)- (9CI) (CA INDEX NAME)



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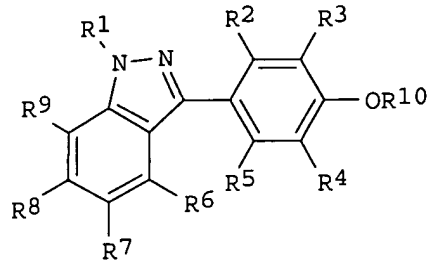

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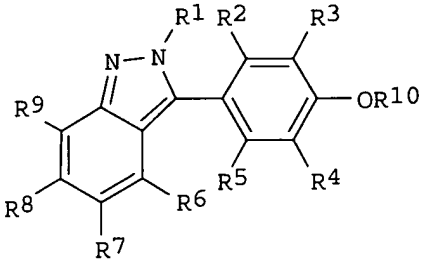


II

AB Title compds. I and II [wherein R1 = H, (cyclo)alkyl, (cyclo)alkenyl, aryl(alkyl), or heterocyclyl; R2-R5 = independently H, alkyl, alkenyl, OH, alkoxy, aryloxy, halo, CF3, CN, NO2, CHO, or CO2R11; R6-R9 = independently H, alkyl, alkenyl, OH, alkoxy, aryloxy, halo, CF3, CO2R11, aryl(alkyl), or heterocyclyl; R10 = H, COR11, CONHR11, P(O)(OH)OR11, or CO(CH2)nCH(NHR12)CO2R11; R11 = H, alkyl, or aryl(alkyl); R12 = H or CO2R11; n = 0-3; and pharmaceutically acceptable salts thereof] were prepared as antiinflammatory agents. For example, condensation of 2,2',4,4'-tetrahydroxybenzophenone with propylhydrazine•oxalate using NaOAc in MeOH provided 4-(6-hydroxy-1-propyl-1H-indazol-3-yl)benzene-1,3-diol (III). Compds. of the invention potently and efficaciously inhibited transcription factor nuclear factor κB (NF-κB) and interleukin 6 (IL-6) expression in ERα infected immortalized human aortic endothelial (HAECT-1) cells (IC50 values about 1 nM) without inducing creatinine kinase (CK) expression in an ER-dependent manner, demonstrating antiinflammatory activity in the absence of classic estrogenic activity. Thus, I, II, and their pharmaceutical compns. are useful for the treatment of the inflammatory component of diseases and are particularly useful in treating atherosclerosis, myocardial infarction, congestive heart failure, inflammatory bowel disease, arthritis, type II diabetes, and autoimmune diseases, such as multiple sclerosis and rheumatoid arthritis (no data).

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:203664 CAPLUS

DOCUMENT NUMBER: 140:253553

TITLE: Preparation of oxazolidin-2-one and thiazolidin-2-one derivatives for use as prostaglandin E2 receptor EP4-subtype agonists

INVENTOR(S): Han, Yongxin; Colucci, John; Billot, Xavier; Wilson, Marie-Claire; Young, Robert

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004019938	A1	20040311	WO 2003-CA1306	20030825

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

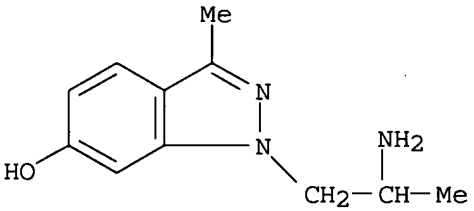
PRIORITY APPLN. INFO.: US 2002-406530P P 20020828
OTHER SOURCE(S): MARPAT 140:253553

IT **362512-14-9 362512-19-4**, [2-(3-Chloro-6-methoxyindazol-1-yl)-1-methylethyl]amine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy; preparation of oxazolidinone and thiazolidinone derivs. as prostaglandin E2 receptor EP4-subtype agonists in treatment of conditions related to elevated intraocular pressure in eye)

RN 362512-14-9 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

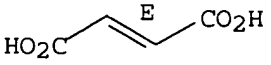
CRN 362512-13-8
CMF C11 H15 N3 O



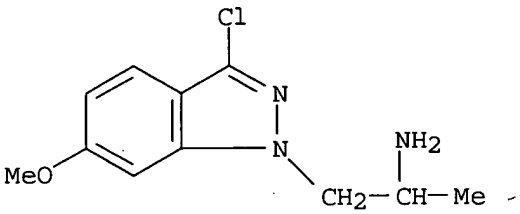
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 362512-19-4 CAPLUS
CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl- (9CI) (CA INDEX NAME)



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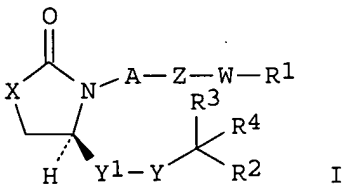


I

AB This invention relates to compds. of formula (I) [X = O, S; Y1 = CH2CH2, CH:CH, cyclopropane-1,2-diyl; Y = CO, CH(OH); A, W = a bond, C1-6 alkylene optionally substituted with 1, 2, 3, or 4 halogen atoms; Z = O, S, cyclopropane-1,2-diyl, CH2, HC:H, C.tplbond.C, each disubstituted aryl or heteroaryl ring; R2 = C1-6 alkyl, provided that R2 is not n-pentyl, (CH2)0-8-C6-10 aryl, (CH2)0-8-C5-10 heteroaryl, (CH2)0-8-C3-10 heterocycloalkyl, (CH2)0-8-C3-8cycloalkyl, O-C1-10-oalkyl, O-C6-10aryl, O-C5-10heteroaryl, O-C5-10heterocycloalkyl, O-C3-10cycloalkyl wherein aryl, heteroaryl, heterocycloalkyl, and cycloalkyl are optionally substituted; R3, R4 = H, halogen, C1-6 alkyl; or R3 and R4, together with the carbon atom to which they are attached, form a C3-7 cycloalkyl ring; R5 = H, OH, CH2OH, C1-6 alkoxy, NHPO2R6, NHR9, NHSO2R8, NR6R7; R6, R7 = H, C1-6 alkyl; R8 = H, C6-10 aryl, C1-4 alkyl; R9 = acyl, sulfonyl] are prepared These compds. are potent selective agonists of the EP4 subtype of prostaglandin E2 receptors. Also disclosed are their use for a medicament in the treatment of conditions which are related to elevated intraocular pressure in the eye of a patient by (1) treating ocular hypertension, glaucoma, macular edema, or macular degeneration, (2) increasing retinal and optic nerve head blood velocity, (3) increasing retinal and optic nerve tension, (4) providing a neuroprotective effect, or (5) treating dry eyes.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:991344 CAPLUS
DOCUMENT NUMBER: 140:42461
TITLE: Preparation of asparagine-derived 1,5-disubstituted imidazolidin-2-one derivatives for use as EP4 receptor agonists in the treatment of eye and bone diseases
INVENTOR(S): Billot, Xavier; Young, Robert N.
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103664	A1	20031218	WO 2003-CA842	20030603
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1513523	A1	20050316	EP 2003-727101	20030603
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

PRIORITY APPLN. INFO.: US 2002-386641P P 20020606
WO 2003-CA842 W 20030603

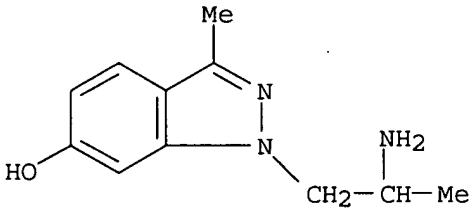
OTHER SOURCE(S): MARPAT 140:42461

IT **362512-14-9 362512-19-4**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical ingredient; preparation of asparagine-derived imidazolidinone derivs. for use as EP4 receptor agonists in treatment of eye and bone diseases)

RN 362512-14-9 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

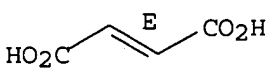
CRN 362512-13-8
CMF C11 H15 N3 O



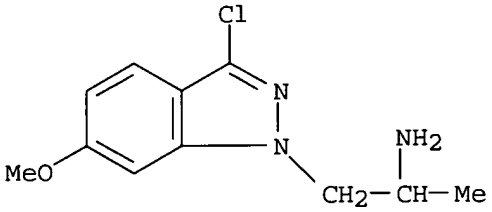
CM 2

CRN 110-17-8
CMF C4 H4 O4

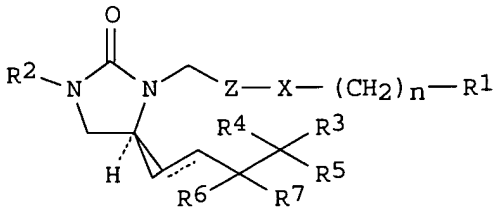
Double bond geometry as shown.



RN 362512-19-4 CAPLUS
CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl- (9CI) (CA INDEX NAME)



GI



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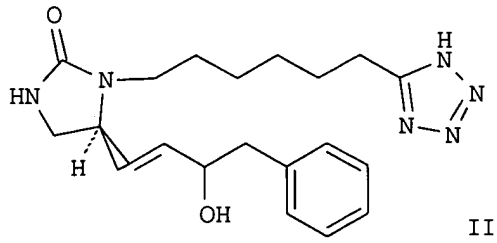


II

AB The invention relates to imidazolidinones I [X is a bond, O or S; R1 is OH, CN, carboxyalkyl, CF2SO2NH2, SO2NH2, PO3H2, heterocyclyl, etc.; R2 is H, aryl, or alkyl; R3, R4 are H, halo, or alkyl; R5 is (hetero)aryl or (hetero)cycloalkyl or alkyl substituted by these groups; CR6R7 is CO or CH(OH); Z is (CRb2)0-4 or CRb:CRb, where Rb is H, halo, alkyl, or cycloalkyl; n is 0-4] or their pharmaceutically-acceptable salts, enantiomers, diastereomers, prodrugs or mixts., which are potent selective agonists of the EP4 subtype of prostaglandin E2 receptors, and their use in the treatment of glaucoma and other conditions which are related to elevated intraocular pressure in the eye and for mediating the bone modeling and remodeling processes of the osteoblasts and osteoclasts. Thus, R-asparagine-derived benzyl (4R)-3-(6-cyanohexyl)-4-formyl-2-oxoimidazolidine-1-carboxylate was treated with PhCH2COCH2P(O)(OMe)2, NaBH4, and Bu3SnN3 to afford tetrazole derivative II.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:717764 CAPLUS

DOCUMENT NUMBER: 139:230775
TITLE: Preparation of pyranoindazoles and their use for the treatment of glaucoma
INVENTOR(S): Chen, Hwang-hsing; May, Jesse A.; Severns, Bryon S.
PATENT ASSIGNEE(S): Alcon, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of Appl. PCT/US02/16861.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003171418	A1	20030911	US 2002-316600	20021211
US 6696476	B2	20040224		
WO 2002098350	A2	20021212	WO 2002-US16861	20020530
WO 2002098350	A3	20030227		

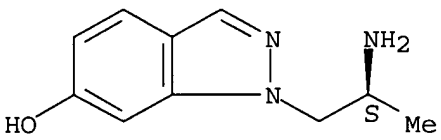
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-295429P P 20010601
WO 2002-US16861 A2 20020530

OTHER SOURCE(S): MARPAT 139:230775

IT **210580-75-9**, 1-((S)-2-Aminopropyl)-1H-indazol-6-ol
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyranoindazoles for the treatment of glaucoma)
RN 210580-75-9 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2S)-2-aminopropyl]- (9CI) (CA INDEX NAME)

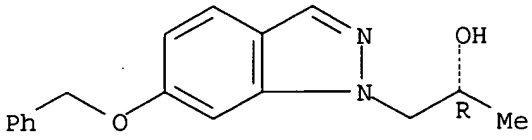
Absolute stereochemistry. Rotation (+).



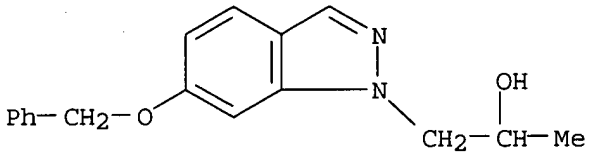
IT **210581-14-9P 477971-81-6P 478132-22-8P**
478132-24-0P 478132-25-1P 478132-26-2P
478132-27-3P 478132-28-4P 478132-29-5P
478132-34-2P 478132-35-3P 478132-36-4P
478132-37-5P 478132-38-6P 478132-39-7P
478132-45-5P 478132-53-5P 478132-54-6P
478132-63-7P 594871-95-1P 594871-96-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyranoindazoles for the treatment of glaucoma)
RN 210581-14-9 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)-, (αR)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

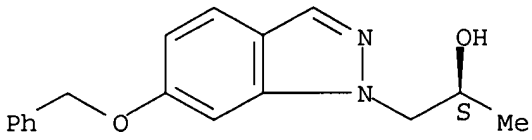


RN 477971-81-6 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

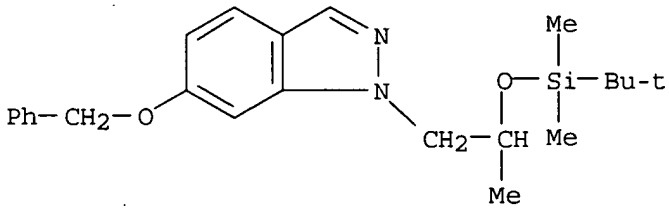


RN 478132-22-8 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)-, (αS)- (9CI) (CA INDEX NAME)

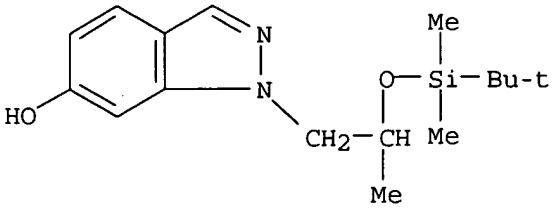
Absolute stereochemistry.



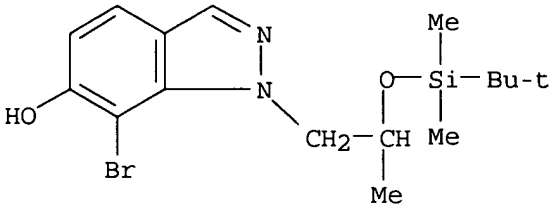
RN 478132-24-0 CAPLUS
CN 1H-Indazole, 1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



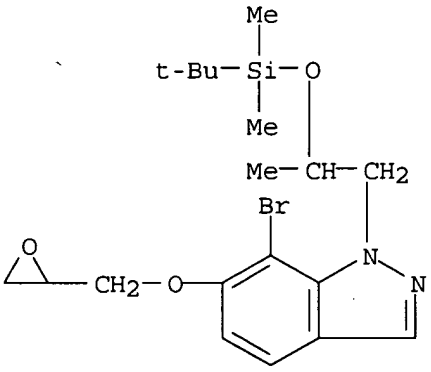
RN 478132-25-1 CAPLUS
CN 1H-Indazol-6-ol, 1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)



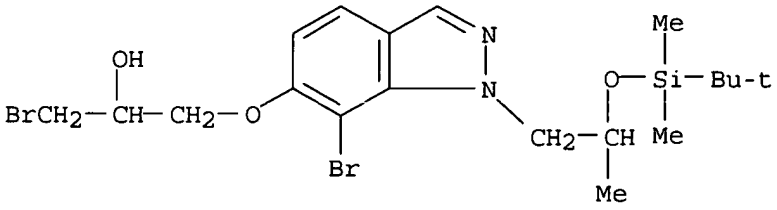
RN 478132-26-2 CAPLUS
CN 1H-Indazol-6-ol, 7-bromo-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)



RN 478132-27-3 CAPLUS
CN 1H-Indazole, 7-bromo-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

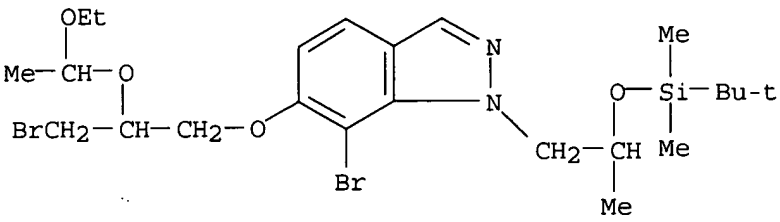


RN 478132-28-4 CAPLUS
CN 2-Propanol, 1-bromo-3-[[7-bromo-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-1H-indazol-6-yl]oxy]- (9CI) (CA INDEX NAME)



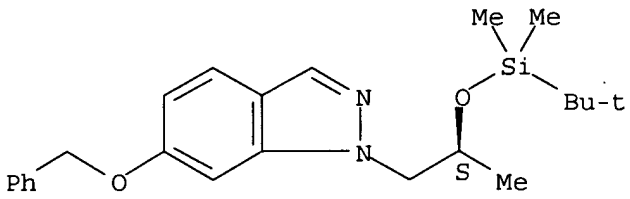
RN 478132-29-5 CAPLUS

CN 1H-Indazole, 7-bromo-6-[3-bromo-2-(1-ethoxyethoxy)propoxy]-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)



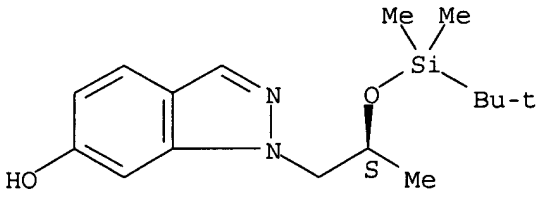
RN 478132-34-2 CAPLUS
CN 1H-Indazole, 1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



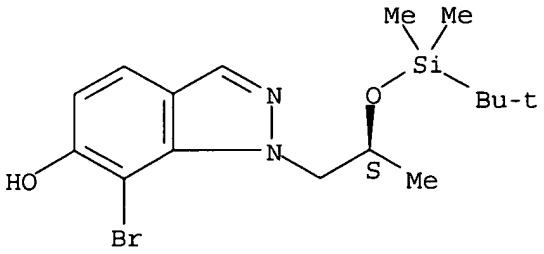
RN 478132-35-3 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



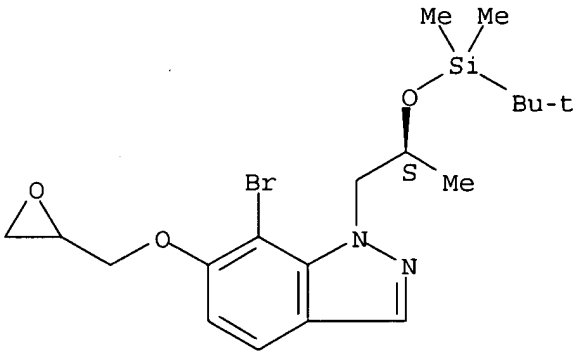
RN 478132-36-4 CAPLUS
CN 1H-Indazol-6-ol, 7-bromo-1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



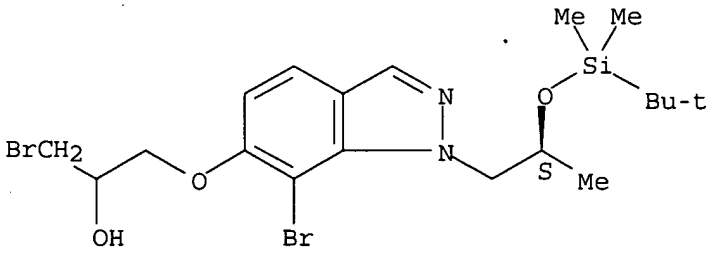
RN 478132-37-5 CAPLUS
CN 1H-Indazole, 7-bromo-1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



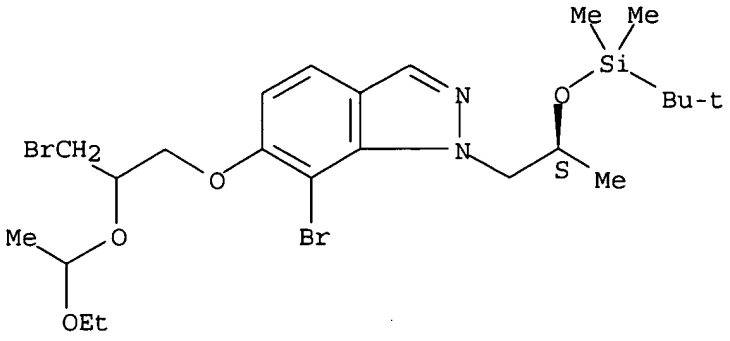
RN 478132-38-6 CAPLUS
CN 2-Propanol, 1-bromo-3-[[7-bromo-1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-1H-indazol-6-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



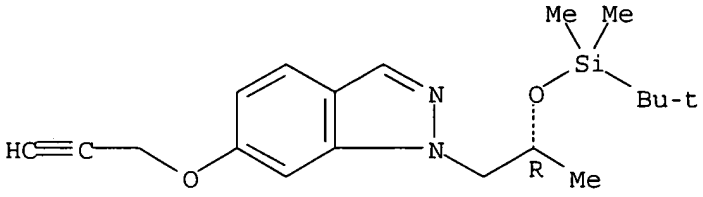
RN 478132-39-7 CAPLUS
CN 1H-Indazole, 7-bromo-6-[3-bromo-2-(1-ethoxyethoxy)propoxy]-1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



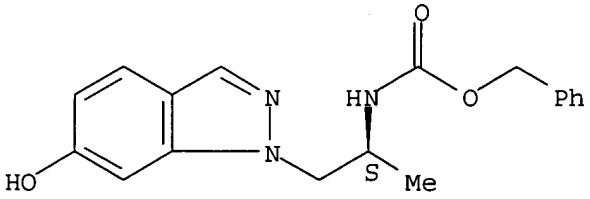
RN 478132-45-5 CAPLUS
CN 1H-Indazole, 1-[(2R)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(2-propynyloxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



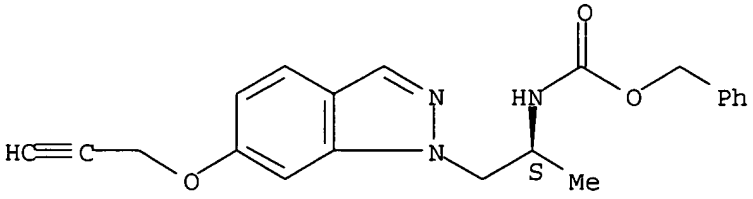
RN 478132-53-5 CAPLUS
CN Carbamic acid, [(1S)-2-(6-hydroxy-1H-indazol-1-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



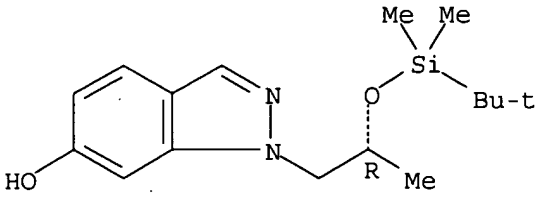
RN 478132-54-6 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-[6-(2-propynyloxy)-1H-indazol-1-yl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



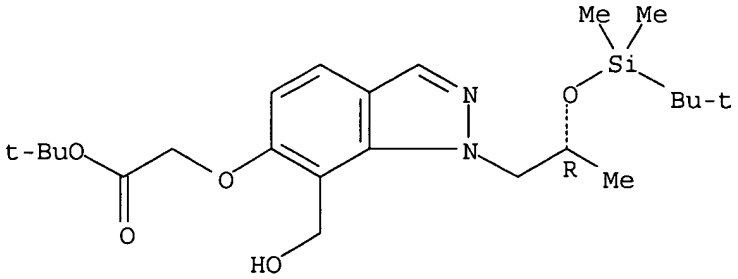
RN 478132-63-7 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2R)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



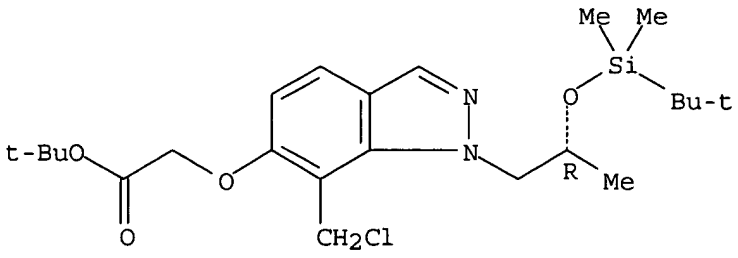
RN 594871-95-1 CAPLUS
CN Acetic acid, [[1-[(2R)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-7-(hydroxymethyl)-1H-indazol-6-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 594871-96-2 CAPLUS
CN Acetic acid, [[7-(chloromethyl)-1-[(2R)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-1H-indazol-6-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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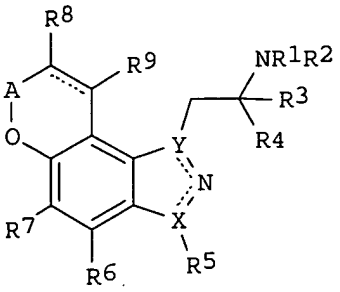

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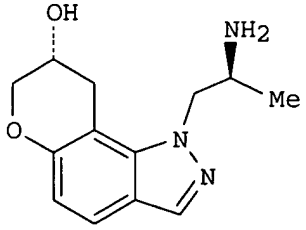


II

AB Pyranoindazoles of formula I [R1, R2 = H, alkyl; R3, R4 = H, alkyl; R3R4 = heterocycle; R5 = H, halo, alkyl; R6, R7 = H, halo, CN, alkylthio, alkyl; R8, R9 = H, OH, alkyl, alkoxy, oxo, etc.; A = (CH2)n, CO, CH-alkyl; n = 0-2; X, Y = N, C] are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure as well as a method for the treatment of glaucoma using compns. containing one or more of the compds. of the present invention. Thus, II was prepared and had IC50 of 2.25 nM and EC50 of 65.3 nM in 5-HT2A receptor binding assay.

L4 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:454048 CAPLUS
DOCUMENT NUMBER: 139:30847
TITLE: EP4 receptor agonists, preparation thereof, pharmaceutical compositions, and therapeutic uses
INVENTOR(S): Ogidigben, Miller J.; Young, Robert N.; Billot, Xavier; Metters, Kathleen M.; Slipetz, Deborah M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Merck Frosst Canada & Co.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003047417	A2	20030612	WO 2002-US38039	20021127
WO 2003047417	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1453503	A2	20040908	EP 2002-784629	20021127
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2004204590	A1	20041014	US 2004-493649	20040422
PRIORITY APPLN. INFO.:			US 2001-337228P P	20011203
			WO 2002-US38039 W	20021127

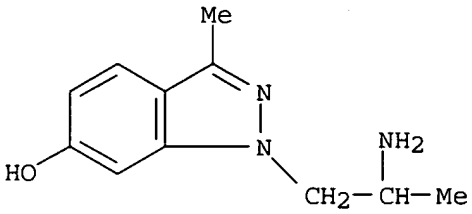
OTHER SOURCE(S): MARPAT 139:30847

IT **362512-14-9 362512-19-4**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(EP4 receptor agonists, preparation, pharmaceutical compns., therapeutic uses, and use with other agents)

RN 362512-14-9 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

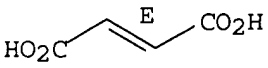
CRN 362512-13-8
CMF C11 H15 N3 O



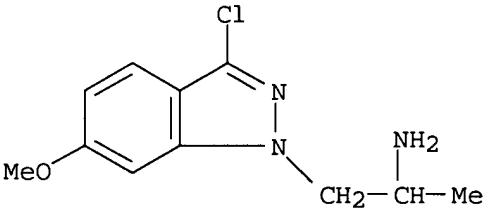
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 362512-19-4 CAPLUS
CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl- (9CI) (CA INDEX NAME)



AB The invention discloses potent selective agonists of the EP4 subtype of prostaglandin E2 receptors, formulations thereof, preparation thereof, and use thereof in the treatment of glaucoma and other conditions which are related to elevated intraocular pressure in the eye of a patient. The invention further discloses the use of these compds. for mediating the bone modeling and remodeling processes of the osteoblasts and osteoclasts.

L4 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:946272 CAPLUS

DOCUMENT NUMBER: 138:26096
TITLE: Methods of making indazole derivatives for drug
INVENTOR(S): Conrow, Raymond E.; Delgado, Pete; Dean, William D.; Pierce, David R.; Gaines, Michael S.
PATENT ASSIGNEE(S): Alcon, Inc., Switz.
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098862	A1	20021212	WO 2002-US17115	20020530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2447482	AA	20021212	CA 2002-2447482	20020530
EP 1392659	A1	20040303	EP 2002-737285	20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004534786	T2	20041118	JP 2003-501986	20020530
US 2004142998	A1	20040722	US 2003-723297	20031126

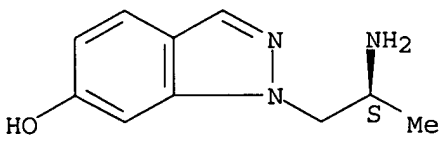
PRIORITY APPLN. INFO.:

US 2001-295430P	P	20010601
US 2001-295427P	P	20010601
WO 2002-US16843	A2	20020530
WO 2002-US17115	W	20020530

OTHER SOURCE(S): MARPAT 138:26096

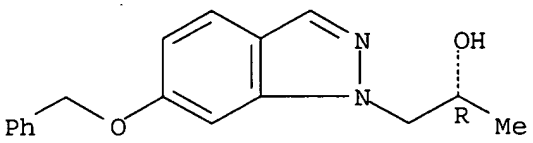
IT **210580-75-9P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(in preparation of 1-(2-aminopropyl)-6-hydroxyindazole)
RN 210580-75-9 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2S)-2-aminopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



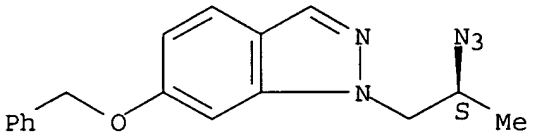
IT **210581-14-9P 210581-27-4P 477971-81-6P**
477971-83-8P
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(in preparation of 1-(2-aminopropyl)-6-hydroxyindazole)
RN 210581-14-9 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

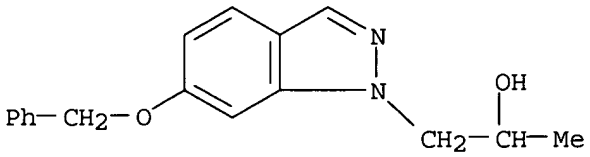


RN 210581-27-4 CAPLUS
CN 1H-Indazole, 1-[(2S)-2-azidopropyl]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

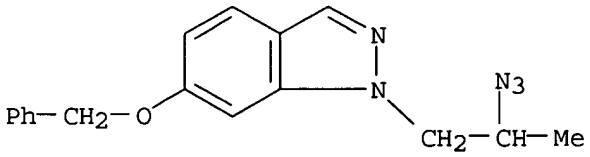
Absolute stereochemistry.



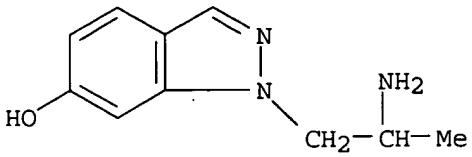
RN 477971-81-6 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 477971-83-8 CAPLUS
CN 1H-Indazole, 1-(2-azidopropyl)-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT **362512-40-1P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(preparation of 1-(2-aminopropyl)-6-hydroxyindazole)
RN 362512-40-1 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)- (9CI) (CA INDEX NAME)



AB Methods of making indazoles are described. The methods involved reacting an aromatic aldehyde with a nitrogen source to form a nitroso aromatic aldehyde.

The nitroso aromatic aldehyde is reacted with a reducing agent to form an indazole which ultimately can be used to form desired indazoles which are preferably pharmaceutically active products. The process of the present invention further permits the formation of enantiomerically enriched or pure indazoles such as aminoalkyl indazoles.

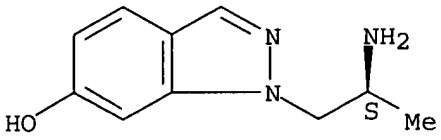
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:946271 CAPLUS
DOCUMENT NUMBER: 138:26095
TITLE: Methods of making 1-(2-aminopropyl)-6-hydroxyindazole
INVENTOR(S): Conrow, Raymond E.; Delgado, Pete; Dean, William D.; Pierce, David R.; Gaines, Michael S.
PATENT ASSIGNEE(S): Alcon, Inc., Switz.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098861	A1	20021212	WO 2002-US16843	20020530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004142998	A1	20040722	US 2003-723297	20031126
PRIORITY APPLN. INFO.:			US 2001-295427P	P 20010601
			US 2001-295430P	P 20010601
			WO 2002-US16843	A2 20020530
			WO 2002-US17115	A1 20020530

IT **210580-75-9P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(in preparation of 1-(2-aminopropyl)-6-hydroxyindazole)
RN 210580-75-9 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2S)-2-aminopropyl]- (9CI) (CA INDEX NAME)

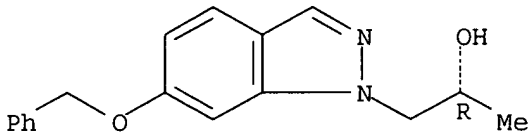
Absolute stereochemistry. Rotation (+).



IT **210581-14-9P 210581-27-4P 477971-81-6P 477971-83-8P**
RL: IMF (Industrial manufacture); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(in preparation of 1-(2-aminopropyl)-6-hydroxyindazole)

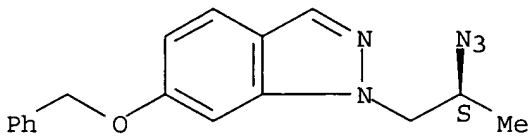
RN 210581-14-9 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

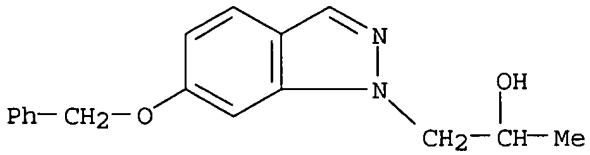


RN 210581-27-4 CAPLUS
CN 1H-Indazole, 1-[(2S)-2-azidopropyl]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

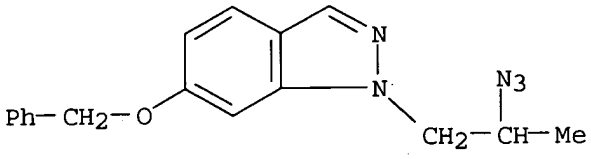
Absolute stereochemistry.



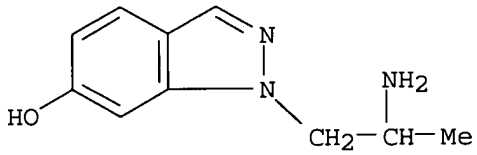
RN 477971-81-6 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 477971-83-8 CAPLUS
CN 1H-Indazole, 1-(2-azidopropyl)-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



IT **362512-40-1P**
RL: IMF (Industrial manufacture); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)
(preparation of 1-(2-aminopropyl)-6-hydroxyindazole)
RN 362512-40-1 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)- (9CI) (CA INDEX NAME)



AB Methods of making 1-(2-aminopropyl)-6-hydroxyindazole are described. The method involves, in part, reacting 4-benzyloxy-2-(2-hydroxypropyl)aminobenzaldehyde with an organic or inorg. nitrite to form 4-benzyloxy-2-(2-hydroxypropyl)nitosaminobenzaldehyde, which in turn is reacted with a reducing agent with concomitant cyclization to form 6-benzyloxy-1-(2-hydroxypropyl)indazole. The 6-benzyloxy-1-(2-hydroxypropyl)indazole can then be transformed into 1-(2-azidopropyl)-6-benzyloxyindazole which in turn can be converted to the final product.
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:946051 CAPLUS
DOCUMENT NUMBER: 138:24710
TITLE: Pyranoindazoles with 5-HT2 receptor activity, and their use for lowering intraocular pressure in the treatment of glaucoma
INVENTOR(S): Chen, Hwang-Hsing; May, Jesse A.; Severns, Bryon S.
PATENT ASSIGNEE(S): Alcon, Inc., Switz.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098350	A2	20021212	WO 2002-US16861	20020530
WO 2002098350	A3	20030227		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,

TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2447480 AA 20021212 CA 2002-2447480 20020530
EP 1392292 A2 20040303 EP 2002-734575 20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
BR 2002010241 A 20040810 BR 2002-10241 20020530
JP 2004535418 T2 20041125 JP 2003-501392 20020530
US 2003171418 A1 20030911 US 2002-316600 20021211
US 6696476 B2 20040224
WO 2003101379 A2 20031211 WO 2002-US39666 20021211
WO 2003101379 A3 20040304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR
EP 1513521 A2 20050316 EP 2002-790099 20021211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
ZA 2003009034 A 20040716 ZA 2003-9034 20031120
US 2004106609 A1 20040603 US 2003-722042 20031124
PRIORITY APPLN. INFO.: US 2001-295429P P 20010601
WO 2002-US16861 W 20020530
WO 2002-US39666 W 20021211

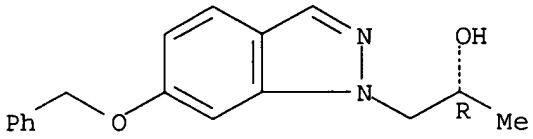
OTHER SOURCE(S): MARPAT 138:24710

IT **210581-14-9P**, (R)-1-(6-Benzyloxyindazol-1-yl)propan-2-ol **477971-81-6P**, 1-(6-Benzyloxyindazol-1-yl)propan-2-ol **478132-22-8P**, (S)-1-(6-Benzyloxyindazol-1-yl)propan-2-ol **478132-24-0P**, 6-Benzyloxy-1-[2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazole **478132-25-1P**, 1-[2-[(tert-Butyldimethylsilanyl)oxy]propyl]-1H-indazol-6-ol **478132-26-2P**, 7-Bromo-1-[2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazol-6-ol **478132-27-3P**, 7-Bromo-1-[2-[(tert-butyldimethylsilanyl)oxy]propyl]-6-(oxiranylmethoxy)-1H-indazole **478132-28-4P**, 1-Bromo-3-[[7-bromo-1-[2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazol-6-yl]oxy]propan-2-ol **478132-29-5P**, 7-Bromo-6-[3-bromo-2-(1-ethoxyethoxy)propoxy]-1-[2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazole **478132-34-2P**, 6-Benzyloxy-1-[(S)-2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazole **478132-35-3P**, 1-[(S)-2-[(tert-Butyldimethylsilanyl)oxy]propyl]-1H-indazol-6-ol **478132-36-4P**, 7-Bromo-1-[(S)-2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazol-6-ol **478132-37-5P**, 7-Bromo-1-[(S)-2-[(tert-butyldimethylsilanyl)oxy]propyl]-6-(oxiranylmethoxy)-1H-indazole **478132-38-6P**, 1-Bromo-3-[[7-bromo-1-[(S)-2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazol-6-yl]oxy]propan-2-ol **478132-39-7P**, 7-Bromo-6-[3-bromo-2-(1-ethoxyethoxy)propoxy]-1-[(S)-2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazole **478132-45-5P**, 1-[2-(R)-[(tert-Butyldimethylsilanyl)oxy]propyl]-6-(prop-2-ynyloxy)-1H-indazole **478132-53-5P**, Benzyl [(S)-2-(6-hydroxy-1H-indazol-1-yl)-1-methylethyl]carbamate **478132-54-6P**, Benzyl [(S)-1-Methyl-2-[6-(prop-2-ynyloxy)indazol-1-yl]ethyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

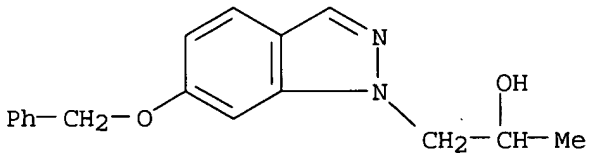
(Reactant or reagent)
(intermediate; preparation of pyranoindazoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

RN 210581-14-9 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

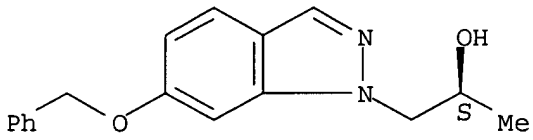


RN 477971-81-6 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

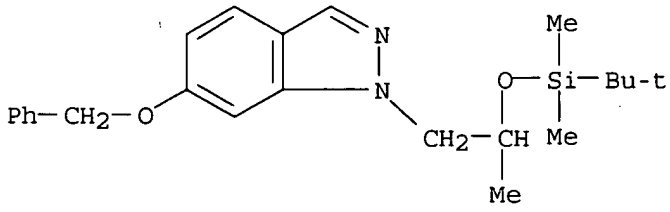


RN 478132-22-8 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)-, (αS)- (9CI) (CA INDEX NAME)

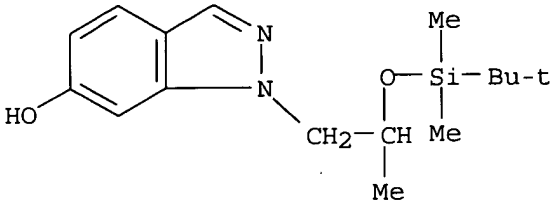
Absolute stereochemistry.



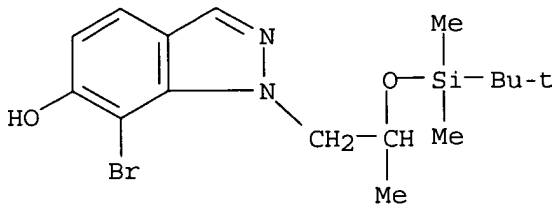
RN 478132-24-0 CAPLUS
CN 1H-Indazole, 1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



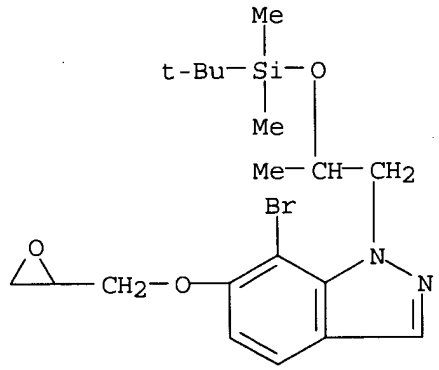
RN 478132-25-1 CAPLUS
CN 1H-Indazol-6-ol, 1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)



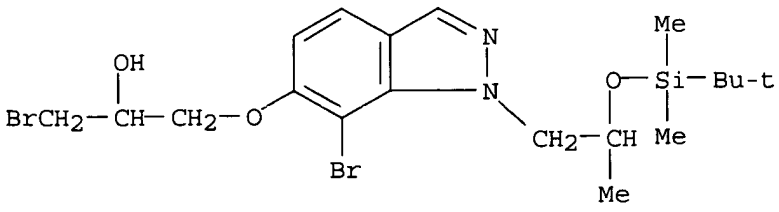
RN 478132-26-2 CAPLUS
CN 1H-Indazol-6-ol, 7-bromo-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)



RN 478132-27-3 CAPLUS
CN 1H-Indazole, 7-bromo-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

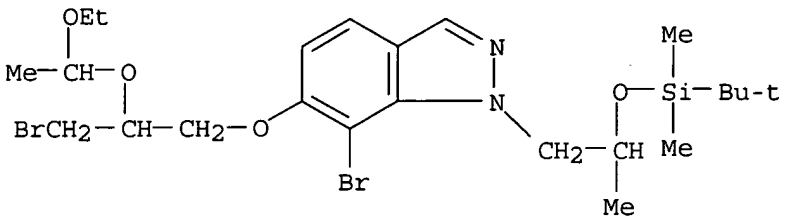


RN 478132-28-4 CAPLUS
CN 2-Propanol, 1-bromo-3-[[7-bromo-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-1H-indazol-6-yl]oxy]- (9CI) (CA INDEX NAME)



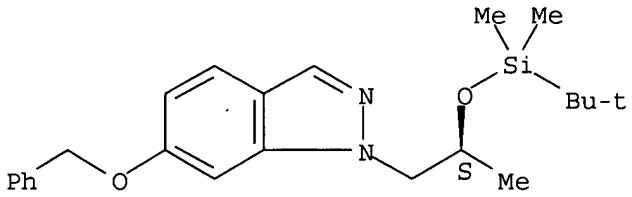
RN 478132-29-5 CAPLUS

CN 1H-Indazole, 7-bromo-6-[3-bromo-2-(1-ethoxyethoxy)propoxy]-1-[2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)



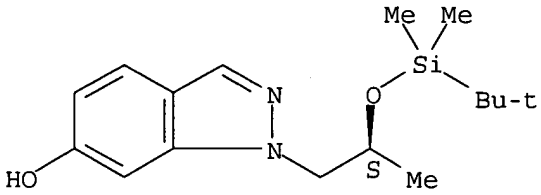
RN 478132-34-2 CAPLUS
CN 1H-Indazole, 1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



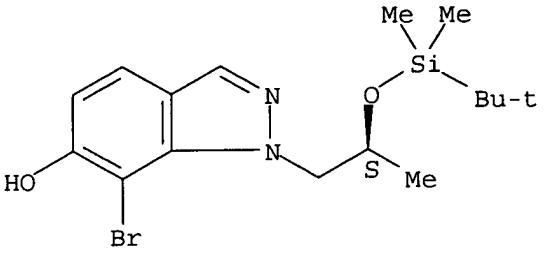
RN 478132-35-3 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



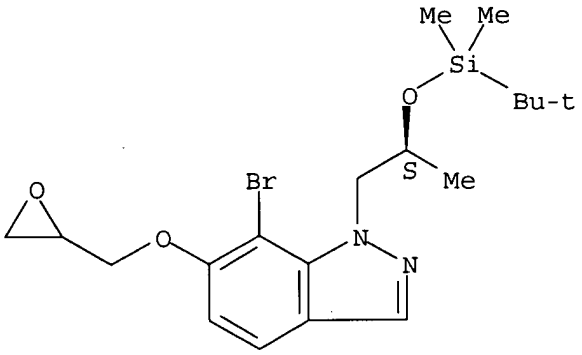
RN 478132-36-4 CAPLUS
CN 1H-Indazol-6-ol, 7-bromo-1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



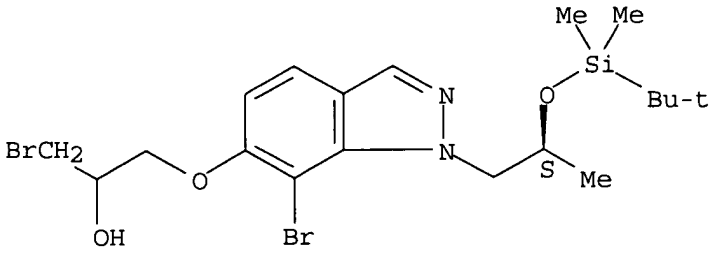
RN 478132-37-5 CAPLUS
CN 1H-Indazole, 7-bromo-1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(oxiranylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



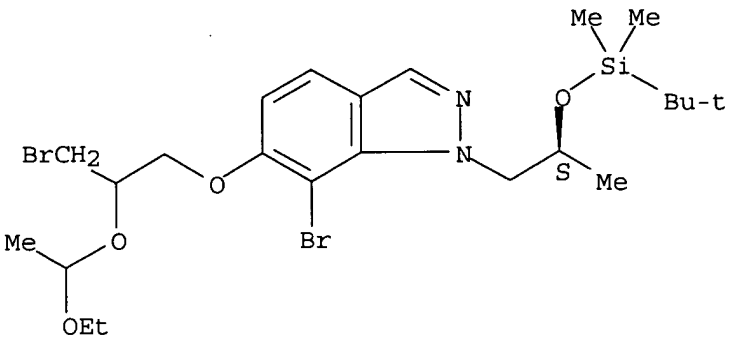
RN 478132-38-6 CAPLUS
CN 2-Propanol, 1-bromo-3-[[7-bromo-1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-1H-indazol-6-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



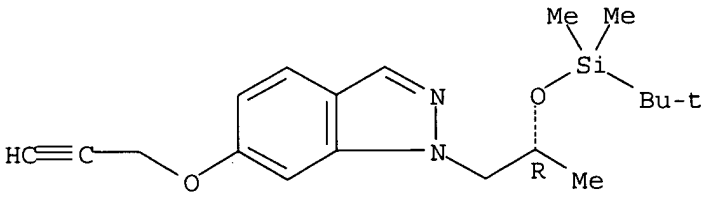
RN 478132-39-7 CAPLUS
CN 1H-Indazole, 7-bromo-6-[3-bromo-2-(1-ethoxyethoxy)propoxy]-1-[(2S)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



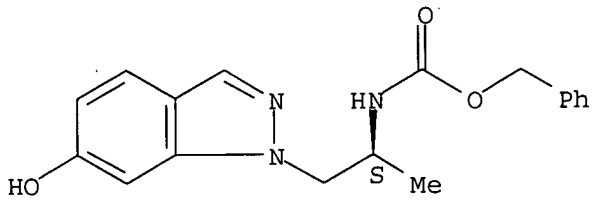
RN 478132-45-5 CAPLUS
CN 1H-Indazole, 1-[(2R)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]-6-(2-propynyloxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



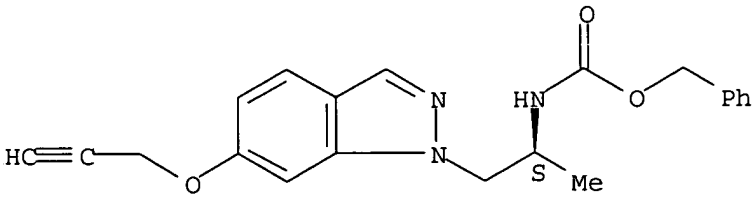
RN 478132-53-5 CAPLUS
CN Carbamic acid, [(1S)-2-(6-hydroxy-1H-indazol-1-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-54-6 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-[6-(2-propynyloxy)-1H-indazol-1-yl]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

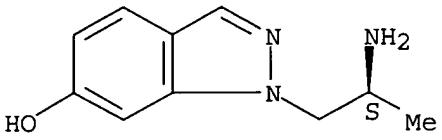
Absolute stereochemistry.



IT **210580-75-9**, 1-((S)-2-Aminopropyl)-1H-indazol-6-ol
478132-63-7, 1-[(R)-2-[(tert-Butyldimethylsilanyl)oxy]propyl]-1H-indazol-6-ol
RL: RCT (Reactant); RACT (Reactant or reagent)
(starting material; preparation of pyranoindazoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

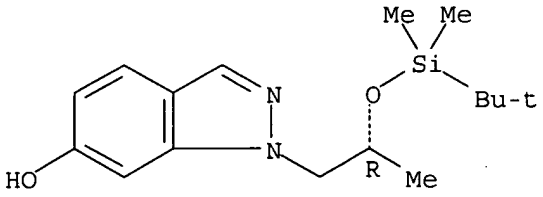
RN 210580-75-9 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2S)-2-aminopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

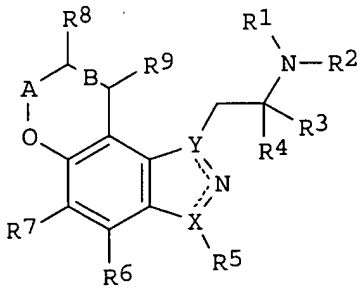


RN 478132-63-7 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2R)-2-[[(1,1-dimethylethyl)dimethylsilyl]oxy]propyl]- (9CI) (CA INDEX NAME)

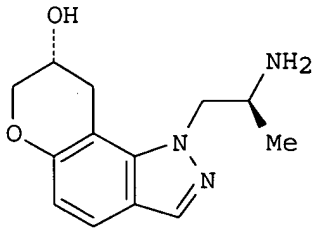
Absolute stereochemistry.



GI



I



II

AB New pyranoindazoles are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure, as well as a method for the treatment of glaucoma, using compns. containing one or more of the invention compds. In particular, compds. I are claimed [wherein: R1, R2 = H or alkyl; R3, R4 = H or alkyl; or CR3R4 forms cycloalkyl ring; or R2R3 = saturated (CH2)m to form a heterocycle; R5 = H, halo, or (un)substituted alkyl; R6, R7 = H, halo, cyano, alkylthio, or (un)substituted alkyl; R8, R9 = H, OH, (un)substituted alkyl, alkoxy, oxo, NR10R11, OC(O)NR1R2, OC(O)-C1-4-alkyl, or alkylthiol; R10, R11 = H,

(un)substituted alkyl, C(O)-C1-4-alkyl, C(O)O-C1-4-alkyl, or C(O)NR1R2; or R10R11 forms a 5- or 6-membered heterocyclic ring, which optionally includes an addnl. heteroatom (N, O, or S) when a 6-membered ring; A = (CH2)n, CO, or CH-C1-4-alkyl; B = single or double bond, wherein when B = double bond, then R8 and R9 = H or (un)substituted alkyl; m = 2-4; n = 0-2; X, Y = N or C, wherein X ≠ Y; dashed bonds = appropriate single and double bonds]. Twelve synthetic examples are given, and sixteen individual compds. are specifically claimed, both per se and in associated method claims. For instance, title compound II was prepared in 7 steps from 1-[(R)-2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazol-6-ol (III). Specifically, the sequence involved: (1) etherification of III with propargyl bromide; (2) thermal cyclization of the propargyl ether to give a dihydropyrano[2,3-g]indazole; (3) hydroboration and oxidation of the latter to give a tetrahydropyrano[2,3-g]indazol-8-ol derivative, with one diastereomer predominating; (4) protection of the ring alc. as a 1-ethoxyethyl ether, and desilylation of the other alc.; (5) conversion of the free alc. to an (S)-isomeric azide via the mesylate; (6) removal of the 1-ethoxyethyl ether protecting group; and (7) reduction of the azide to an amine. II bound to rat or human cortical 5-HT2 receptors in vitro with an IC50 of 2.19 nM, vs. 0.941 nM for 5-HT itself. II also acted as a 5-HT2 agonist in a phosphoinositide turnover assay, with an EC50 of 65.3 nM, and an efficacy (Emax) comparable to 5-HT itself. II also reduced intraocular pressure in conscious cynomolgus monkeys by about 30% after 6 h, at a dose of 300 μg (topical), which was comparable to the known agent (R)-DOI at 100 μg.

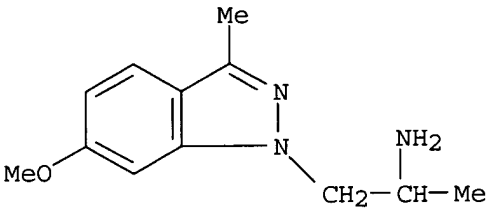
L4 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:713320 CAPLUS
DOCUMENT NUMBER: 135:257241
TITLE: Preparation of 1-(2-aminoethyl)-6-hydroxyindazoles for treating glaucoma.
INVENTOR(S): May, Jesse A.; Dantanarayana, Anura P.; Feng, Zixia
PATENT ASSIGNEE(S): Alcon Universal Ltd., Switz.
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001070702	A1	20010927	WO 2000-US31247		20001114
W: AU, BR, CA, CN, JP, KR, MX, PL, US, ZA					
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR					
CA 2402403	AA	20010927	CA 2000-2402403		20001114
EP 1268438	A1	20030102	EP 2000-978628		20001114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR					
JP 2003528085	T2	20030924	JP 2001-568912		20001114
ZA 2002006851	A	20031021	ZA 2002-6851		20020827
PRIORITY APPLN. INFO.:			US 2000-190380P	P	20000317
			WO 2000-US31247	W	20001114

OTHER SOURCE(S): MARPAT 135:257241
IT **259750-27-1P 362512-11-6P 362512-13-8P**
362512-14-9P 362512-15-0P 362512-16-1P
362512-17-2P 362512-18-3P 362512-19-4P
362512-20-7P 362512-21-8P 362512-22-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylhydroxyindazoles for treating glaucoma)

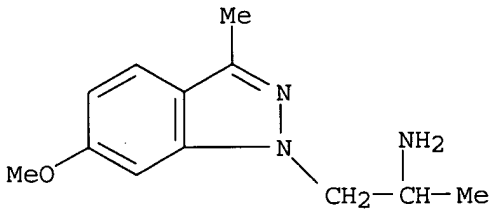
RN 259750-27-1 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α,3-dimethyl- (9CI) (CA INDEX NAME)



RN 362512-11-6 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α,3-dimethyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

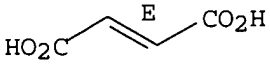
CRN 259750-27-1
CMF C12 H17 N3 O



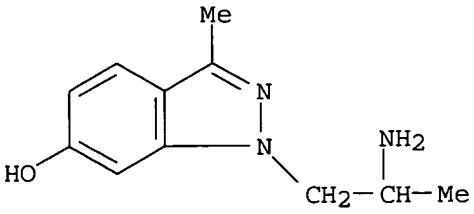
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



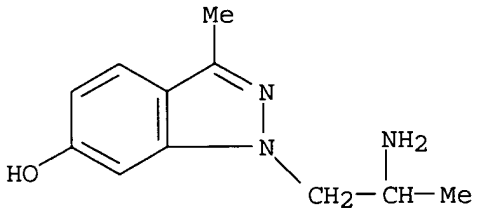
RN 362512-13-8 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 362512-14-9 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-methyl-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

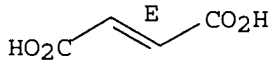
CRN 362512-13-8
CMF C11 H15 N3 O



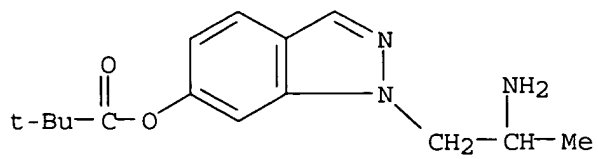
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



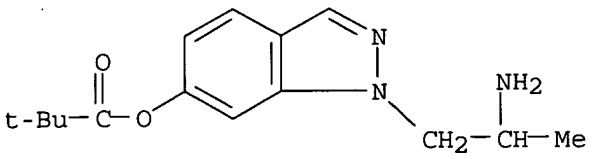
RN 362512-15-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 1-(2-aminopropyl)-1H-indazol-6-yl ester (9CI) (CA INDEX NAME)



RN 362512-16-1 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 1-(2-aminopropyl)-1H-indazol-6-yl ester, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

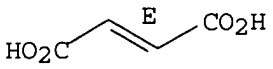
CRN 362512-15-0
CMF C15 H21 N3 O2



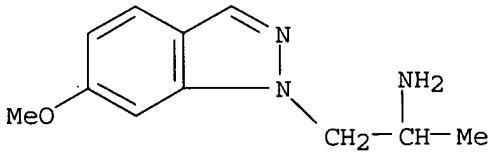
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



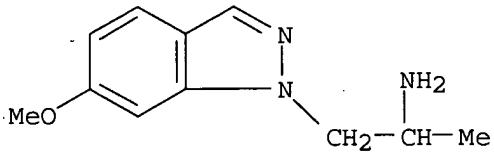
RN 362512-17-2 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α-methyl- (9CI) (CA INDEX NAME)



RN 362512-18-3 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

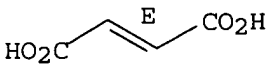
CRN 362512-17-2
CMF C11 H15 N3 O



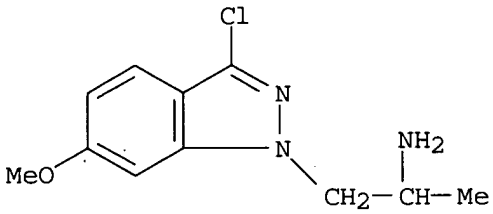
CM 2

CRN 110-17-8
CMF C4 H4 O4

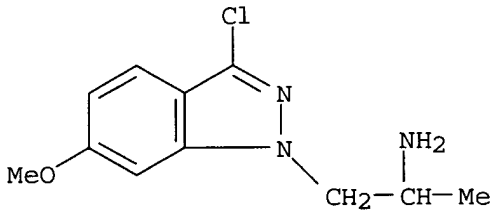
Double bond geometry as shown.



RN 362512-19-4 CAPLUS
CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl- (9CI) (CA INDEX NAME)

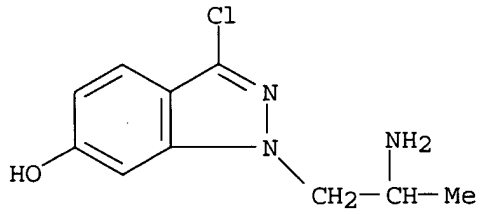


RN 362512-20-7 CAPLUS
CN 1H-Indazole-1-ethanamine, 3-chloro-6-methoxy-α-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

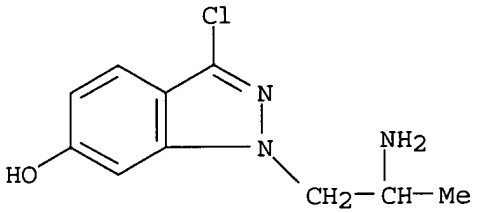


● 2 HCl

RN 362512-21-8 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-chloro- (9CI) (CA INDEX NAME)

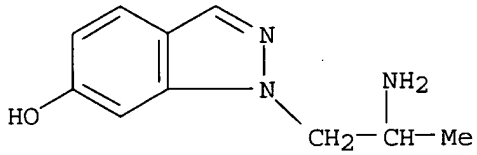


RN 362512-22-9 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)-3-chloro-, dihydrochloride (9CI) (CA INDEX NAME)

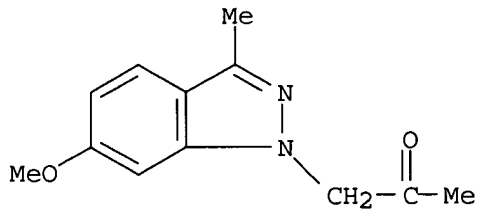


●2 HCl

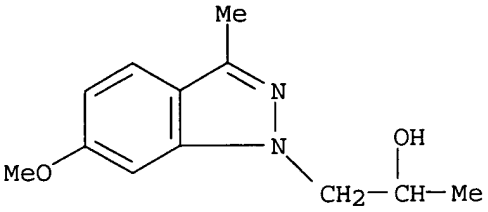
IT **362512-40-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminoalkylhydroxyindazoles for treating glaucoma)
RN 362512-40-1 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)- (9CI) (CA INDEX NAME)



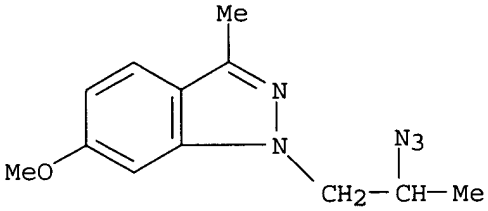
IT **362512-23-0P 362512-24-1P 362512-25-2P**
362512-27-4P 362512-28-5P 362512-29-6P
362512-31-0P 362512-33-2P 362512-34-3P
362512-35-4P 362512-36-5P 362512-39-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoalkylhydroxyindazoles for treating glaucoma)
RN 362512-23-0 CAPLUS
CN 2-Propanone, 1-(6-methoxy-3-methyl-1H-indazol-1-yl)- (9CI) (CA INDEX NAME)



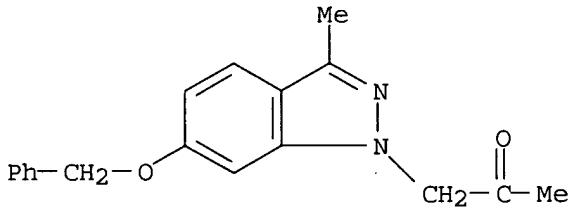
RN 362512-24-1 CAPLUS
CN 1H-Indazole-1-ethanol, 6-methoxy-α,3-dimethyl- (9CI) (CA INDEX NAME)



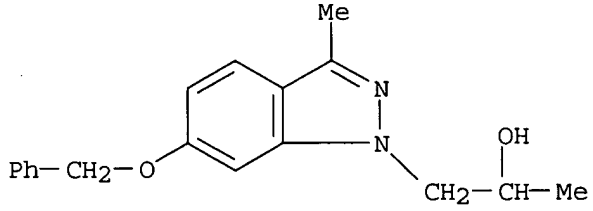
RN 362512-25-2 CAPLUS
CN 1H-Indazole, 1-(2-azidopropyl)-6-methoxy-3-methyl- (9CI) (CA INDEX NAME)



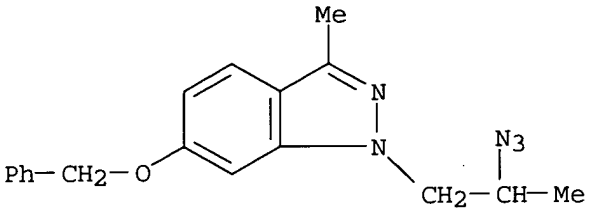
RN 362512-27-4 CAPLUS
CN 2-Propanone, 1-[3-methyl-6-(phenylmethoxy)-1H-indazol-1-yl]- (9CI) (CA INDEX NAME)



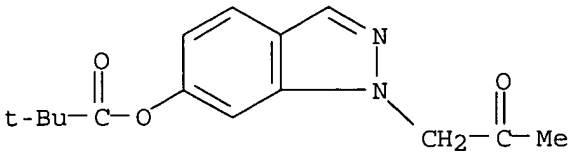
RN 362512-28-5 CAPLUS
CN 1H-Indazole-1-ethanol, α,3-dimethyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



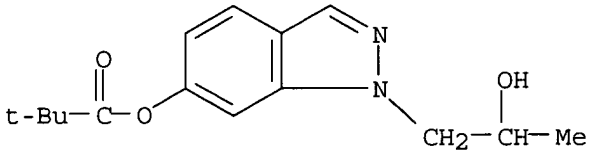
RN 362512-29-6 CAPLUS
CN 1H-Indazole, 1-(2-azidopropyl)-3-methyl-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)



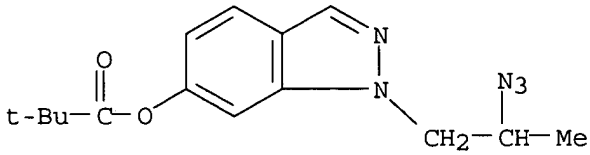
RN 362512-31-0 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 1-(2-oxopropyl)-1H-indazol-6-yl ester (9CI) (CA INDEX NAME)



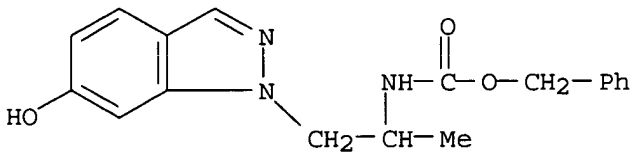
RN 362512-33-2 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 1-(2-hydroxypropyl)-1H-indazol-6-yl ester (9CI) (CA INDEX NAME)



RN 362512-34-3 CAPLUS
CN Propanoic acid, 2,2-dimethyl-, 1-(2-azidopropyl)-1H-indazol-6-yl ester (9CI) (CA INDEX NAME)

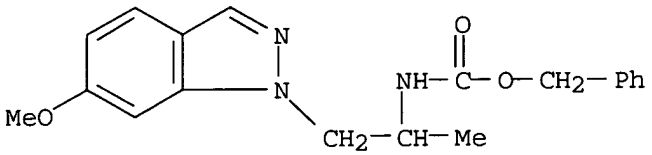


RN 362512-35-4 CAPLUS
CN Carbamic acid, [2-(6-hydroxy-1H-indazol-1-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

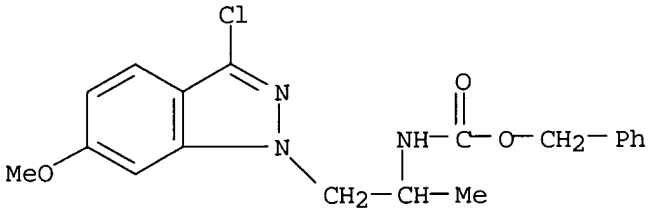


RN 362512-36-5 CAPLUS

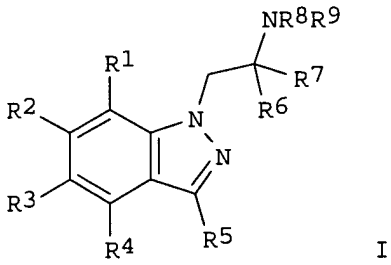
CN Carbamic acid, [2-(6-methoxy-1H-indazol-1-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 362512-39-8 CAPLUS
CN Carbamic acid, [2-(3-chloro-6-methoxy-1H-indazol-1-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



GI



I

AB Title compds. [I; R1-R4 = H, halo, alkyl, CF3, alkylthio, alkylsulfonyl, cyano, etc.; R5 = halo, CF3, cyano, amino; R6-R9 = H, alkyl; R6R7C = atoms to form a cyclopropyl ring; R7R8 = (CH2)m; m = 3, 4], were prepared Thus, 1-(4-benzyloxy-2-fluorophenyl)ethanone (preparation given) was refluxed with N2H4 in EtOH to give 69% 6-benzyloxy-3-methyl-1H-indazole. This was converted to 1-(2-aminopropyl)-3-methyl-1H-indazol-6-ol fumarate (II) in several steps. II showed 5-HT2 receptor binding activity with IC50 = 3.0 nM. Drug formulations containing II were given.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

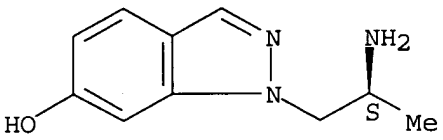
L4 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:713121 CAPLUS
DOCUMENT NUMBER: 135:262248
TITLE: 5HT2 agonists for controlling IOP and treating glaucoma
INVENTOR(S): May, Jesse A.; Dantanarayana, Anura P.
PATENT ASSIGNEE(S): Alcon Universal Ltd., Switz.; Yamanouchi Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 8 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

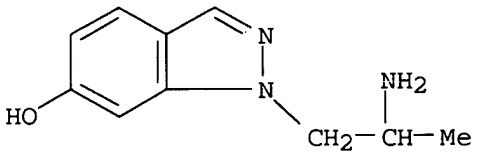
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070207	A2	20010927	WO 2000-US31246	20001114
WO 2001070207	A3	20020510		
W: AU, BR, CA, CN, JP, KR, MX, PL, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2401969	AA	20010927	CA 2000-2401969	20001114
EP 1267847	A2	20030102	EP 2000-978627	20001114
EP 1267847	B1	20040204		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
BR 2000017158	A	20030603	BR 2000-17158	20001114
JP 2003527415	T2	20030916	JP 2001-568405	20001114
AT 258793	E	20040215	AT 2000-978627	20001114
PT 1267847	T	20040531	PT 2000-978627	20001114
ES 2210018	T3	20040701	ES 2000-978627	20001114
TW 546139	B	20030811	TW 2000-89125126	20001127
ZA 2002006852	A	20030827	ZA 2002-6852	20020827
HK 1050143	A1	20040528	HK 2003-102379	20030402
PRIORITY APPLN. INFO.:			US 2000-190288P P	20000317
			WO 2000-US31246 W	20001114

IT **210580-75-9 362512-40-1**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5HT2 agonists for controlling IOP and treating glaucoma)
RN 210580-75-9 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2S)-2-aminopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 362512-40-1 CAPLUS
CN 1H-Indazol-6-ol, 1-(2-aminopropyl)- (9CI) (CA INDEX NAME)

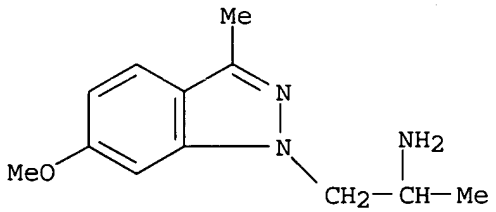


AB Compns. and methods for controlling intraocular pressure and treating glaucoma using 1-(2-aminopropyl)indazol-6-ol are disclosed.

L4 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:161259 CAPLUS
DOCUMENT NUMBER: 132:194372
TITLE: Preparation of indazolylpropylamines as serotonin 5-HT2B and/or 5-HT2C agonists.
INVENTOR(S): Adams, David Reginald; Bentley, Jonathan Mark; Roffey, Jonathan Richard Anthony; Hamlyn, Richard John; George, Ashley Roger
PATENT ASSIGNEE(S): Cerebrus Pharmaceuticals Limited, UK
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012481	A2	20000309	WO 1999-GB2875	19990901
WO 2000012481	A3	20000608		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2341612	AA	20000309	CA 1999-2341612	19990901
AU 9956367	A1	20000321	AU 1999-56367	19990901
EP 1129078	A2	20010905	EP 1999-943082	19990901
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002525280	T2	20020813	JP 2000-571048	19990901
US 6552062	B1	20030422	US 2001-763886	20010228

PRIORITY APPLN. INFO.: GB 1998-19032 A 19980901
WO 1999-GB2875 W 19990901
OTHER SOURCE(S): MARPAT 132:194372
IT **259750-27-1P 259750-36-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazolylpropylamines as 5-HT2B and/or 5-HT2C agonists)
RN 259750-27-1 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α,3-dimethyl- (9CI) (CA INDEX NAME)

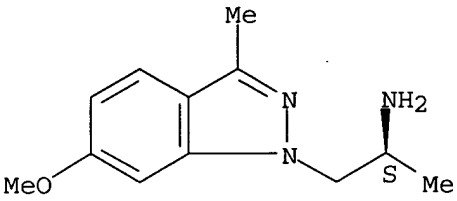


RN 259750-36-2 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α,3-dimethyl-, (αS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 259750-35-1
CMF C12 H17 N3 O

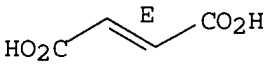
Absolute stereochemistry.



CM 2

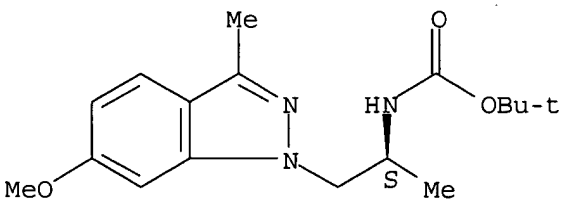
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT **259750-50-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indazolylpropylamines as 5-HT2B and/or 5-HT2C agonists)
RN 259750-50-0 CAPLUS
CN Carbamic acid, [(1S)-2-(6-methoxy-3-methyl-1H-indazol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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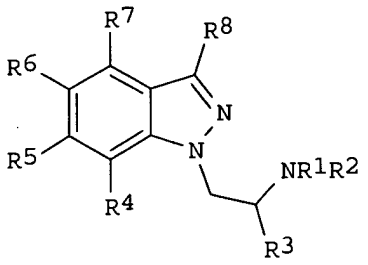


I

AB Title compds. (I; R1-R3 = H, alkyl; R4-R7 = H, halo, OH, alkyl, aryl, amino, monoalkylamino, dialkylamino, alkoxy, aryloxy, alkylthio, arylthio, arylsulfoxyl, arylsulfonyl, alkylsulfoxyl, alkylsulfonyl, NO2, cyano, CHO, alkylcarbonyl, arylcarbonyl, aminocarbonyl, alkylaminocarbonyl, dialkylaminocarbonyl, alkoxycarbonylamino, aminocarbonyloxy, alkylaminocarbonyloxy, dialkylaminocarbonyloxy, alkylaminocarbonylamino, dialkylaminocarbonylamino; R8 = alkyl, alkoxy), were prepared for the treatment of disorders of the central nervous system, damage to the central nervous system, cardiovascular disorders, gastrointestinal disorders, diabetes insipidus, sleep apnea, and obesity. Thus, 3-methyl-1H-indazole was added portionwise to KOH in Me2SO followed by stirring for 30 min at 35°; 2-tert-butoxycarbonylaminopropane methanesulfonate in Me2SO was added dropwise over 2 h followed by stirring for 20 h at 35° to give 51% alkylated indazole, which was stirred with CF3CO2H in CH2Cl2 to give 1-(3-methylindazol-1-yl)-2-propylamine fumarate. I bound to 5-HT2B receptors with Ki = 47-241 nM.

L4 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:490629 CAPLUS
DOCUMENT NUMBER: 129:136164
TITLE: Preparation of aminoalkylindazole derivatives as 5-HT2c receptor agonists
INVENTOR(S): Maeno, Kyoichi; Kubota, Hideki; Shimada, Itsuro; Sakamoto, Shuichi; Tsukamoto, Shin-ichi; Wanibuchi, Fumikazu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9830548	A1	19980716	WO 1998-JP71	19980112
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9853432	A1	19980803	AU 1998-53432	19980112
JP 3560986	B2	20040902	JP 1998-530752	19980112

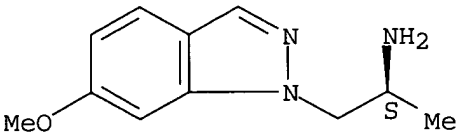
PRIORITY APPLN. INFO.: JP 1997-3980 A 19970113
WO 1998-JP71 W 19980112

OTHER SOURCE(S): MARPAT 129:136164

IT **210580-60-2P 210580-61-3P 210580-75-9P**
210580-76-0P 210580-78-2P 210580-79-3P
210580-80-6P 210581-53-6P 210581-54-7P
210581-68-3P 210581-69-4P 210581-71-8P
210581-72-9P 210581-73-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoalkylindazole derivs. as 5-HT2c receptor agonists)

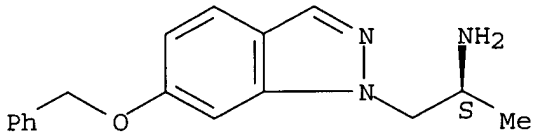
RN 210580-60-2 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



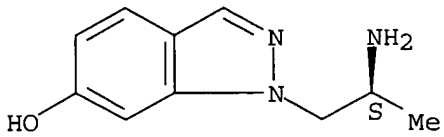
RN 210580-61-3 CAPLUS
CN 1H-Indazole-1-ethanamine, α-methyl-6-(phenylmethoxy)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



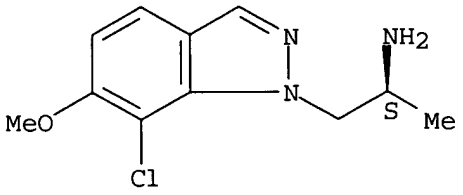
RN 210580-75-9 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2S)-2-aminopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



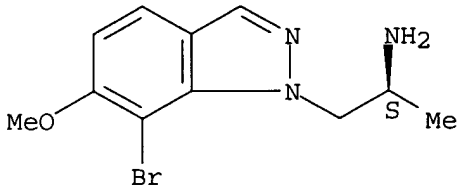
RN 210580-76-0 CAPLUS
CN 1H-Indazole-1-ethanamine, 7-chloro-6-methoxy-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



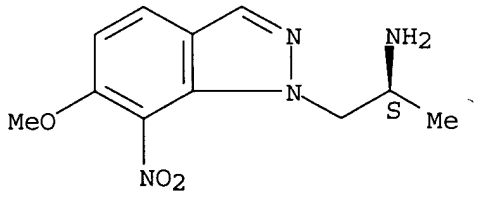
RN 210580-78-2 CAPLUS
CN 1H-Indazole-1-ethanamine, 7-bromo-6-methoxy-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



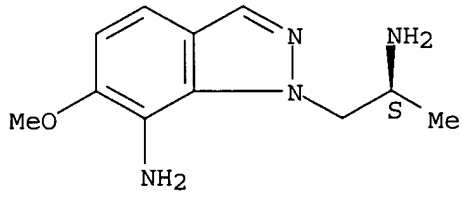
RN 210580-79-3 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α-methyl-7-nitro-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 210580-80-6 CAPLUS
CN 1H-Indazole-1-ethanamine, 7-amino-6-methoxy-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

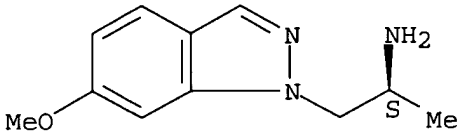


RN 210581-53-6 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α-methyl-, (αS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 210580-60-2
CMF C11 H15 N3 O

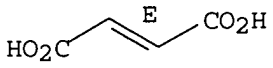
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

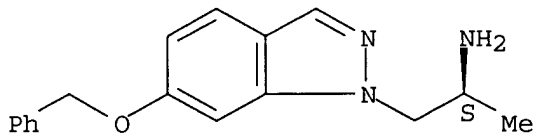


RN 210581-54-7 CAPLUS
CN 1H-Indazole-1-ethanamine, α-methyl-6-(phenylmethoxy)-, (αS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 210580-61-3
CMF C17 H19 N3 O

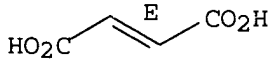
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

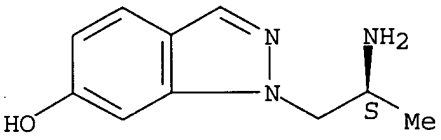


RN 210581-68-3 CAPLUS
CN 1H-Indazol-6-ol, 1-[(2S)-2-aminopropyl]-, (2E)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 210580-75-9
CMF C10 H13 N3 O

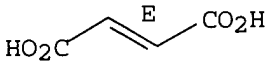
Absolute stereochemistry. Rotation (+).



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

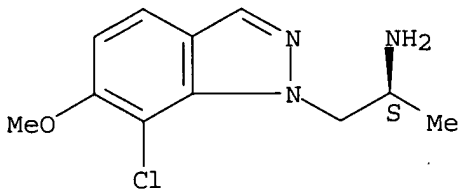


RN 210581-69-4 CAPLUS
CN 1H-Indazole-1-ethanamine, 7-chloro-6-methoxy-α-methyl-, (αS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 210580-76-0
CMF C11 H14 Cl N3 O

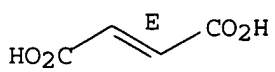
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

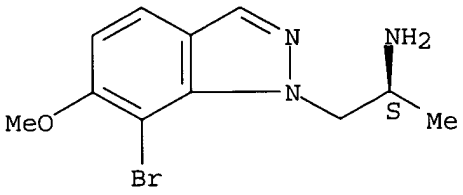


RN 210581-71-8 CAPLUS
CN 1H-Indazole-1-ethanamine, 7-bromo-6-methoxy-α-methyl-, (αS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 210580-78-2
CMF C11 H14 Br N3 O

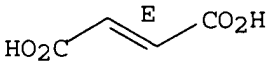
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

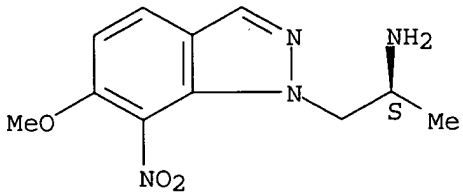


RN 210581-72-9 CAPLUS
CN 1H-Indazole-1-ethanamine, 6-methoxy-α-methyl-7-nitro-, (αS)-, (2E)-2-butenedioate (10:9) (9CI) (CA INDEX NAME)

CM 1

CRN 210580-79-3
CMF C11 H14 N4 O3

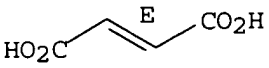
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

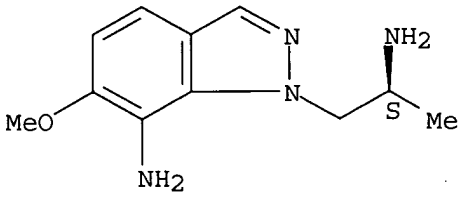


RN 210581-73-0 CAPLUS
CN 1H-Indazole-1-ethanamine, 7-amino-6-methoxy-α-methyl-, (αS)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 210580-80-6
CMF C11 H16 N4 O

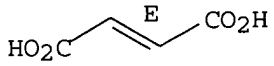
Absolute stereochemistry.



CM 2

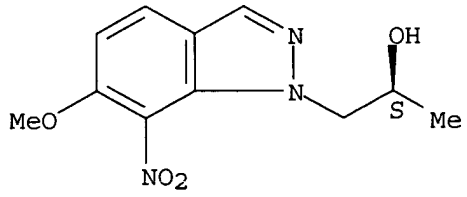
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT **210581-38-7**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminoalkylindazole derivs. as 5-HT2c receptor agonists)
RN 210581-38-7 CAPLUS
CN 1H-Indazole-1-ethanol, 6-methoxy-α-methyl-7-nitro-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

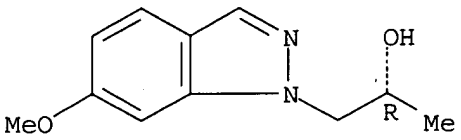


IT **210581-13-8P 210581-14-9P 210581-19-4P**
210581-20-7P 210581-21-8P 210581-27-4P
210581-28-5P 210581-32-1P 210581-33-2P
210581-34-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoalkylindazole derivs. as 5-HT2c receptor agonists)

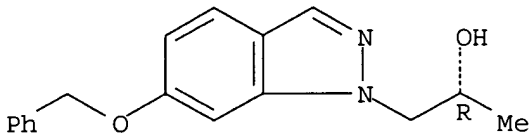
RN 210581-13-8 CAPLUS
CN 1H-Indazole-1-ethanol, 6-methoxy-α-methyl-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



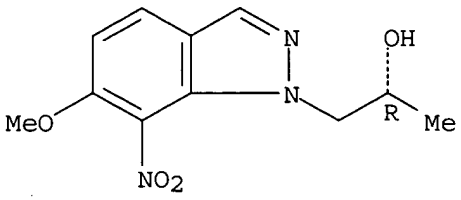
RN 210581-14-9 CAPLUS
CN 1H-Indazole-1-ethanol, α-methyl-6-(phenylmethoxy)-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



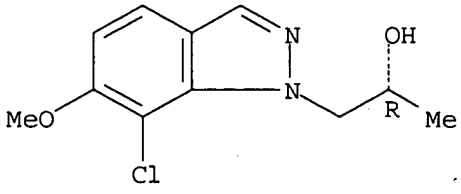
RN 210581-19-4 CAPLUS
CN 1H-Indazole-1-ethanol, 6-methoxy-α-methyl-7-nitro-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



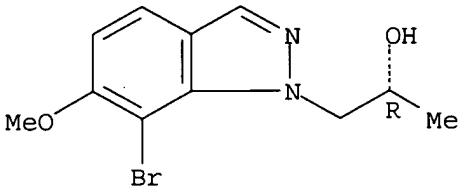
RN 210581-20-7 CAPLUS
CN 1H-Indazole-1-ethanol, 7-chloro-6-methoxy-α-methyl-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



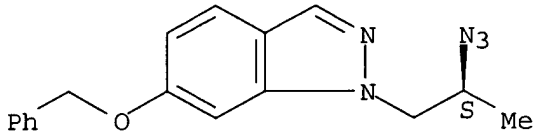
RN 210581-21-8 CAPLUS
CN 1H-Indazole-1-ethanol, 7-bromo-6-methoxy-α-methyl-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



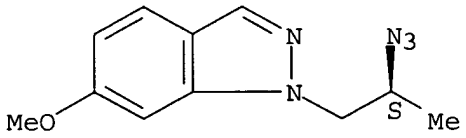
RN 210581-27-4 CAPLUS
CN 1H-Indazole, 1-[(2S)-2-azidopropyl]-6-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

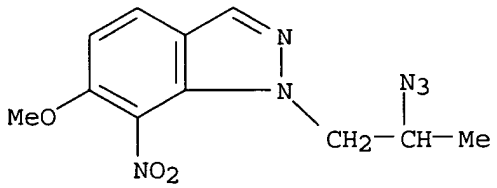


RN 210581-28-5 CAPLUS
CN 1H-Indazole, 1-[(2S)-2-azidopropyl]-6-methoxy- (9CI) (CA INDEX NAME)

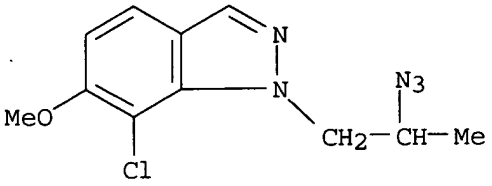
Absolute stereochemistry.



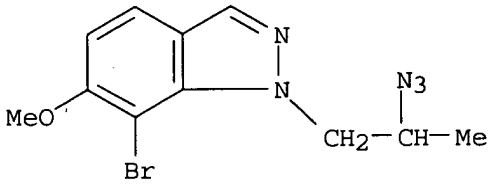
RN 210581-32-1 CAPLUS
CN 1H-Indazole, 1-(2-azidopropyl)-6-methoxy-7-nitro- (9CI) (CA INDEX NAME)



RN 210581-33-2 CAPLUS
CN 1H-Indazole, 1-(2-azidopropyl)-7-chloro-6-methoxy- (9CI) (CA INDEX NAME)



RN 210581-34-3 CAPLUS
CN 1H-Indazole, 1-(2-azidopropyl)-7-bromo-6-methoxy- (9CI) (CA INDEX NAME)



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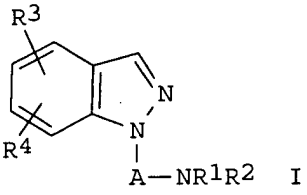

AB The title compds. [I; A represents optionally substituted, linear or branched C2-6 alkylene or cycloalkane; R1 and R2 represents hydrogen or lower alkyl, or R1 and R2 may form together with A a nitrogen-containing saturated heterocycle; R3 and R4 represents hydrogen, lower alkyl, halogeno, hydroxy, lower alkoxy, aryl-substituted lower alkoxy, amino, mono- or di(lower alkyl)amino, lower alkanoylamino, nitro or cyano.] are prepared I have high affinity and selectivity to 5-HT2c receptors and are useful in treating central nervous system diseases such as sexual disorders, genital insufficiency, appetite regulation disorders, anxiety, depression, and sleep disorders. Thus, I (R1R2N = CN, A = CH2, R3 = 4-F, R4 = H) (preparation given) was treated with LiAlH4 and AlCl3 to give I (A, R3, R4 = same as above, R1R2N = NH2). Some of I were tested and showed 5-HT2c receptor antagonism.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	99.25	261.22

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION

CA SUBSCRIBER PRICE -14.60 -14.60

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